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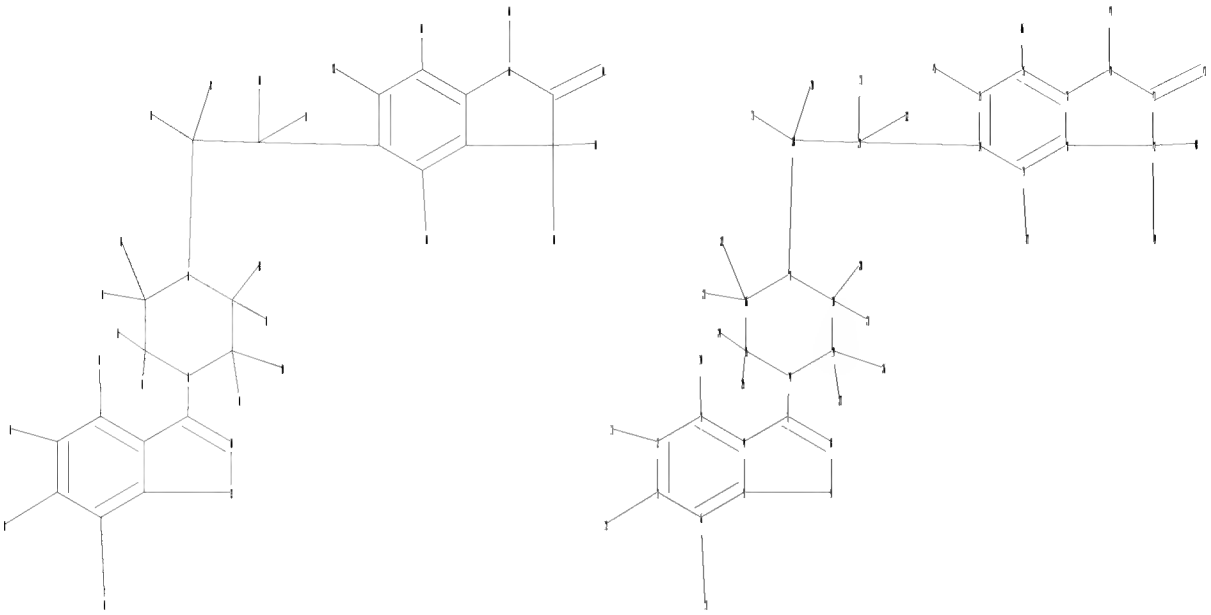

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19-26 19-27 28-29 28-30 28-31 29-32 29-33 29-34 35-45 36-46 39-47 40-44
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exact/norm bonds :
4-7 5-9 7-8 7-14 8-9 14-15 14-19 15-16 16-17 17-18 17-28 18-19 37-40
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exact bonds :
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Match level :
1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 7:Atom 8:Atom 9:Atom 10:CLASS
11:CLASS 12:CLASS 13:CLASS 14:Atom 15:Atom 16:Atom 17:Atom 18:Atom 19:Atom
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45:CLASS 46:CLASS 47:CLASS 48:CLASS 49:CLASS

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L1      STRUCTURE UPLOADED

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SAMPLE SCREEN SEARCH COMPLETED -       11 TO ITERATE

100.0% PROCESSED       11 ITERATIONS                                5 ANSWERS
SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS:  ONLINE  **COMPLETE**
                        BATCH   **COMPLETE**
PROJECTED ITERATIONS:           22 TO      418
PROJECTED ANSWERS:               5 TO      234

L2            5 SEA SSS SAM L1

=> s l1 sss full
FULL SEARCH INITIATED 16:48:36 FILE 'REGISTRY'
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SEARCH TIME: 00.00.01

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L4          57 L3 AND NC>1

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FILE LAST UPDATED: 29 Dec 2010  (20101229/ED)
REVISED CLASS FIELDS (/NCL) LAST RELOADED:  Aug 2010
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USPTO MANUAL OF CLASSIFICATIONS THESAURUS ISSUE DATE: Aug 2010

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L5        1253 L3

=> s l5 and( pure or purity)/ab,bi
         510256 PURE/AB
         537952 PURE/BI
         194214 PURITY/AB
         215236 PURITY/BI
L6           28 L5 AND( PURE OR PURITY)/AB,BI

=> d l6 1-28 bib abs hitstr

L6   ANSWER 1 OF 28  CAPLUS  COPYRIGHT 2010 ACS on STN
AN   2010:1588960  CAPLUS
TI   Novel visible spectrophotometric methods for estimation of Ziprasidone in
     pharmaceutical formulations
AU   Sreelakshmi, A.; Rao, G.; Babu, G. Sudhakara Sai
CS   Department Of Biotechnology, Montessori Mahila Kalasala, Vijayawada,
     520010, India
SO   Journal of Ultra Chemistry (2010), 6(2), 123-128
     CODEN: JUCOAL; ISSN: 0973-3450
PB   Journal of Ultra Chemistry
DT   Journal
LA   English
AB   Ziprasidone is a typical antipsychotic agent.  Two simple, sensitive and
     accurate spectrophotometric methods were developed for the determination of
     ziprasidone hydrochloride (ZPD) in pure state and in its
     pharmaceutical formulations.  The developed method A is based on the
     reaction of the drug with 3-methyl-2-benzothiazolinone hydrazone in the
     presence of ferric chloride to form a colored species with λmax 640
     nm and linearity in the range of 8-56 μg/mL.  Method B involves ion
     association complex formation of the drug with methyl orange.  The developed
     chromogen in Method B shows maximum absorption at λmax 420 nm and
     Linearity in the range of 3-15 μg/mL.  The results obtained were
     statistically evaluated and were found to be accurate and reproducible.
IT   122883-93-6, Ziprasidone hydrochloride
     RL: ANT (Analyte); ANST (Analytical study)
        (visible spectrophotometric methods for determination of ziprasidone in
        pharmaceutical formulations)
RN   122883-93-6  CAPLUS
CN   2H-Indol-2-one, 5-[2-[4-(1,2-benzisothiazol-3-yl)-1-piperazinyl]ethyl]-6-
     chloro-1,3-dihydro-, hydrochloride (1:1)  (CA INDEX NAME)
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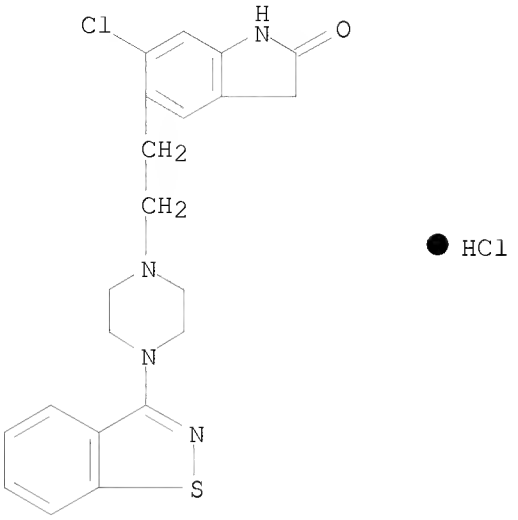


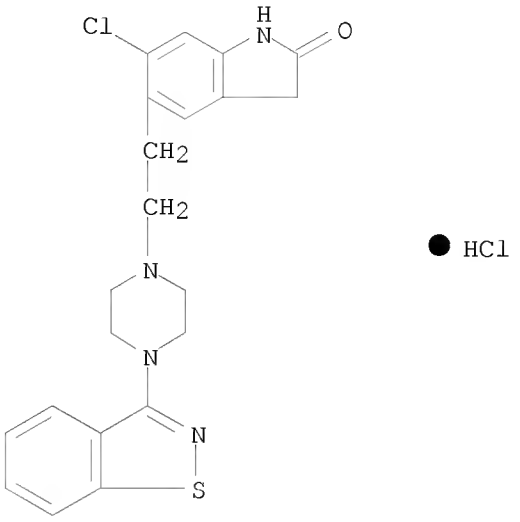
L6 ANSWER 2 OF 28 CAPLUS COPYRIGHT 2010 ACS on STN
AN 2010:1392829 CAPLUS
DN 153:651821
TI Development and validation of a rapid RP-HPLC method for the estimation of Ziprasidone Hydrochloride Monohydrate in bulk and its capsule dosage forms
AU Chudasama, J. D.; Channabasavaraj, K. P.; Pandya, C. B.; Mani, T. T.
CS Department of Pharmaceutical Analysis, Bharathi College of Pharmacy, 571422, India
SO International Journal of Pharmaceutical Sciences Review and Research (2010), 4(3), 193-197
CODEN: IJPSRR; ISSN: 0976-044X
URL: http://globalresearchonline.net/journalcontents/volume4issue3/Article%20031.pdf
PB Global Research Online
DT Journal; (online computer file)
LA English
AB A rapid and sensitive Reverse Phase High Performance Liquid Chromatog. [RP-HPLC] method was developed for the determination of ziprasidone HCl monohydrate [ZHM] in pure and its capsule dosage forms. The method was validated as per International Conference on Harmonization [ICH] guidelines. YMC C18 column (150 + 4.6mm, 3μm) was used with a mobile phase containing a mixture of phosphate buffer (pH-3) and methanol in the ratio of 60:40% volume/volume The anal. was performed with run time of 5 min at a flow rate of 1ml/min. The effluents were monitored at 219nm with UV detection and ZHM was eluted at 2.750min. The method was linear (r2 = 0.9999) at concentration ranging from 10 to 50μg/mL, precise (intra-day relative standard deviation [RSD] and inter-day RSD values < 1.0%), accurate (mean recovery = 100.08%), specific and robust. Detection and quantification limits were 0.002 and 0.007μg/mL, resp. The results showed that the proposed method is suitable for the precise, accurate and rapid determination of ZHM in bulk, its capsule dosage forms and dissoln. samples of capsules.
IT 138982-67-9, Ziprasidone hydrochloride monohydrate

RL: ANT (Analyte); ANST (Analytical study)
(development and validation of rapid RP-HPLC method for determination of ziprasidone hydrochloride monohydrate in bulk and its capsule dosage forms)

RN 138982-67-9 CAPLUS

CN 2H-Indol-2-one, 5-[2-[4-(1,2-benzisothiazol-3-yl)-1-piperazinyl]ethyl]-6-chloro-1,3-dihydro-, hydrochloride, hydrate (1:1:1) (CA INDEX NAME)

PAGE 1-A



PAGE 2-A

RE.CNT 14 THERE ARE 14 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 3 OF 28 CAPLUS COPYRIGHT 2010 ACS on STN
AN 2010:1348122 CAPLUS
DN 153:627088
TI Ziprasidone hydrochloride with new crystal form and its preparation method
IN Jung, Yong Ho; Jung, Chun Won; Lee, Jung U.; Lee, Yun Seung; Kim, Gyeong Cheol; Kang, Byeong Gyu
PA Hwail Pharmaceutical Co., Ltd., S. Korea
SO Repub. Korea, 11pp.
CODEN: KRXXFC
DT Patent
LA Korean
FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI KR 989389	B1	20101025	KR 2010-56668	20100615
PRAI KR 2010-56668		20100615		

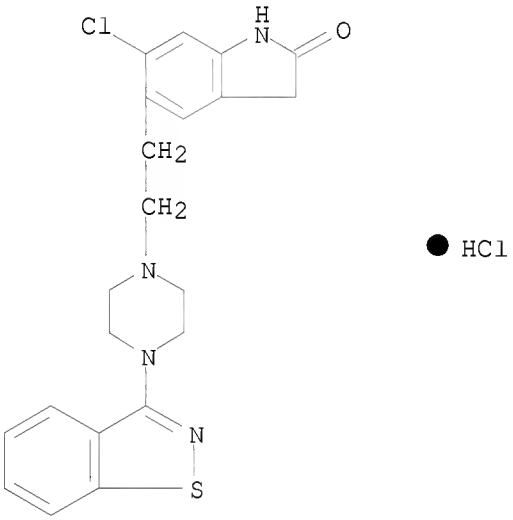
AB The title method for preparing crystalline ziprasidone hydrochloride (1.5 hydrate)

comprises recrystg. ziprasidone base in solvent containing 1-methyl-2-pyrrolidone or DMSO, feeding the recrystd. ziprasidone base to a solvent selected from tert-Bu Me ether, Bu Me ether, sec-Bu Me ether and their mixture in the presence of aqueous hydrochloric acid to prepare slurry, and

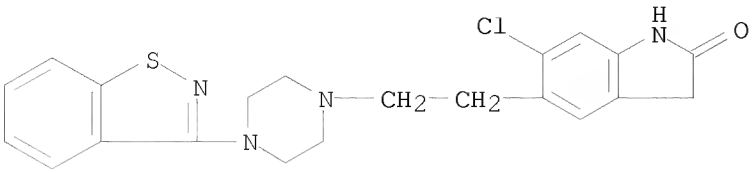
obtaining crystalline ziprasidone hydrochloride (1.5 hydrate) from the slurry at 5-10°C. The prepared compound has characteristic peaks (shown with 2θ) at about 7.4, 10.8, 13.0, 14.8, 18.0, 21.7, 23.3, 24.3, and 25.9±0.2° in an XRD diffraction pattern, and m.p. of 287-289°C, starts melting and decomposition at about 282°C, and is completely degraded at 293°C in a DSC (differential scanning calorimetry) graph. The compound has purity of 99.5%, high stability, good fluidity, and little electrostatic generation.

IT 122883-93-6P, Ziprasidone hydrochloride 845275-28-7P
RL: PRP (Properties); SPN (Synthetic preparation); PREP (Preparation)
(preparation of crystalline ziprasidone hydrochloride)

RN 122883-93-6 CAPLUS
CN 2H-Indol-2-one, 5-[2-[4-(1,2-benzisothiazol-3-yl)-1-piperazinyl]ethyl]-6-chloro-1,3-dihydro-, hydrochloride (1:1) (CA INDEX NAME)



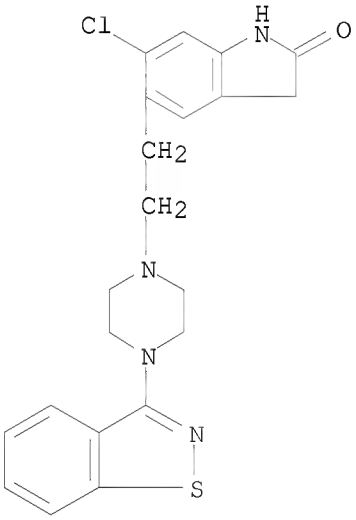
RN 845275-28-7 CAPLUS
CN 2H-Indol-2-one, 5-[2-[4-(1,2-benzisothiazol-3-yl)-1-piperazinyl]ethyl]-6-chloro-1,3-dihydro-, hydrochloride, hydrate (2:2:3) (CA INDEX NAME)



● HCl

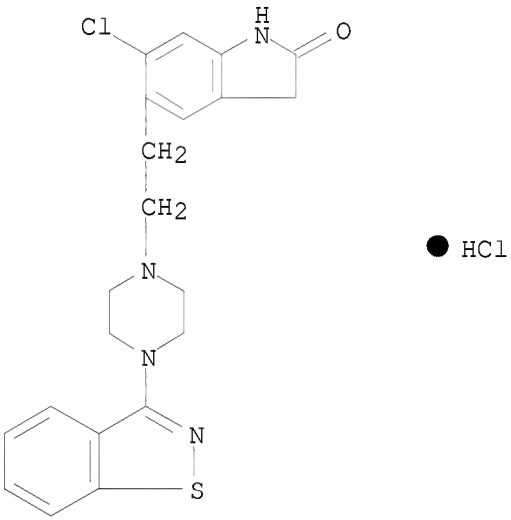
● 3/2 H_2O

IT 146939-27-7P, Ziprasidone
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of crystalline ziprasidone hydrochloride)
RN 146939-27-7 CAPLUS
CN 2H-Indol-2-one, 5-[2-[4-(1,2-benzisothiazol-3-yl)-1-piperazinyl]ethyl]-6-chloro-1,3-dihydro- (CA INDEX NAME)



L6 ANSWER 4 OF 28 CAPLUS COPYRIGHT 2010 ACS on STN
AN 2010:1162593 CAPLUS
DN 153:368665
TI Visible spectrophotometric methods for estimation of ziprasidone in pharmaceutical dosage forms
AU Sreelakshmi, A.; Rao, G. Devala; Sai Babu, G. Sudhakara
CS Department of Biotechnology, Montessori Mahila Kalasala, Vijayawada, 520 010, India

SO Journal of Chemical and Pharmaceutical Sciences (2010), 3(3), 154-156
CODEN: JCPSFB; ISSN: 0974-2115
PB Journal of Chemical and Pharmaceutical Sciences
DT Journal
LA English
AB Ziprasidone is a typical antipsychotic agent. Two simple, sensitive and accurate spectrophotometric methods were developed for the determination of ziprasidone hydrochloride (ZPD) in pure state and in its pharmaceutical formulations. The developed Method A is based on the oxidation of the drug with Fe(III) and subsequent chelation of Fe(II) produced with 2,21 Bipyridyl to produce colored chromogen having maximum absorption at λmax 510 nm and linearity in the range of 40-200 μg/mL. Method B involves oxidation followed by complex formation of the drug with bathophenanthroline and it exhibits maximum absorption at λmax 630 nm; linearity in the range of 4-20 μg/mL. The results obtained were statistically evaluated and were found to be accurate and reproducible.
IT 122883-93-6, Ziprasidone hydrochloride
RL: ANT (Analyte); ANST (Analytical study)
(ziprasidone HCl in pharmaceuticals determined by visible spectroscopy)
RN 122883-93-6 CAPLUS
CN 2H-Indol-2-one, 5-[2-[4-(1,2-benzisothiazol-3-yl)-1-piperazinyl]ethyl]-6-chloro-1,3-dihydro-, hydrochloride (1:1) (CA INDEX NAME)



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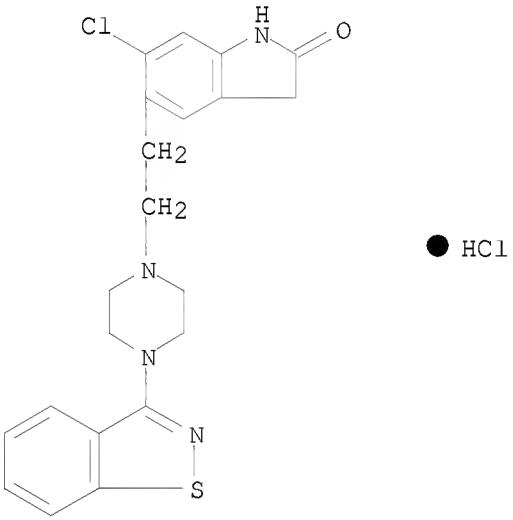
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 5 OF 28 CAPLUS COPYRIGHT 2010 ACS on STN
AN 2010:1024987 CAPLUS
DN 153:270088
TI Determination of ziprasidone in bulk and pharmaceutical dosage forms
AU Sreelakshmi, A.; Devala Rao, G.; Sudhakara Sai Babu, G.
CS Department Of Biotechnology, Montessori Mahila Kalasala, Vijayawada, 520 010, India
SO Journal of Ultra Chemistry (2010), 6(1), 118-122
CODEN: JUCOAL; ISSN: 0973-3450

PB Journal of Ultra Chemistry
DT Journal
LA English
AB Ziprasidone is a typical antipsychotic agent. Two simple, sensitive and accurate spectrophotometric methods were developed for the determination of ziprasidone hydrochloride (ZPD) in pure state and in its pharmaceutical dosage forms. The developed Method A is based on the formation of picrate salt between picric acid and free base of ziprasidone and it shows maximum absorption at λ max 400 nm and linearity in the range of 4-20 μg/mL. Method B involves reaction between free base of ziprasidone and chloranilic acid. The developed chromogen in Method B shows maximum absorption at λ max 520 nm and linearity in the range of 16-36 μg/mL. The results obtained were statistically evaluated and were found to be accurate and reproducible.
IT 122883-93-6, Ziprasidone hydrochloride
RL: ANT (Analyte); ANST (Analytical study)
(ziprasidone hydrochloride in pharmaceuticals determined by UV-vis. spectroscopy)
RN 122883-93-6 CAPLUS
CN 2H-Indol-2-one, 5-[2-[4-(1,2-benzisothiazol-3-yl)-1-piperazinyl]ethyl]-6-chloro-1,3-dihydro-, hydrochloride (1:1) (CA INDEX NAME)



RE.CNT 8 THERE ARE 8 CITED REFERENCES AVAILABLE FOR THIS RECORD
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L6 ANSWER 6 OF 28 CAPLUS COPYRIGHT 2010 ACS on STN
AN 2010:814973 CAPLUS
DN 153:174998
TI Process for the preparation of ziprasidone and its acid salts
IN Shah, Niraj Shyamlal; Dwivedi, Shriprakash Dhar
PA Cadila Healthcare Limited, India
SO PCT Int. Appl., 24pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
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OS CASREACT 153:174998

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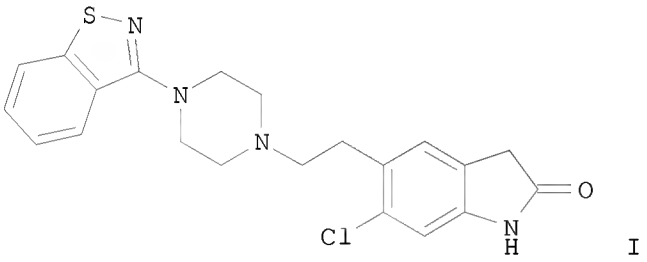


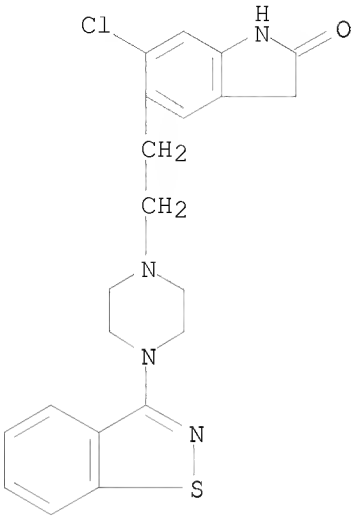
I

AB The invention relates to an improved process for preparing ziprasidone (I) and its acid salts. The invention particularly provides a method for purifying ziprasidone base thereby providing substantially pure ziprasidone and its acid salts and hydrates. Ziprasidone (I) was prepared by alkylation of 3-(1-piperazinyl)-1,2-benzisothiazole hydrochloride with 6-(chloro)-5-(2-chloroethyl)oxindole. Furthermore ziprasidone was converted to ziprasidone hydrochloride monohydrate by addition of HCl.

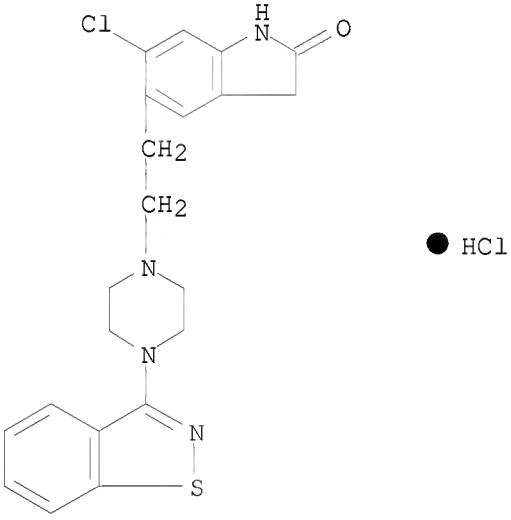
IT 146939-27-7P, Ziprasidone
RL: PRP (Properties); RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(process for the preparation of ziprasidone hydrochloride salt via N-alkylation of benzoisothiazolylpiperazine hydrochloride with chloro(chloroethyl)oxindole followed by addition of HCl)

RN 146939-27-7 CAPLUS

CN 2H-Indol-2-one, 5-[2-[4-(1,2-benzisothiazol-3-yl)-1-piperazinyl]ethyl]-6-chloro-1,3-dihydro- (CA INDEX NAME)

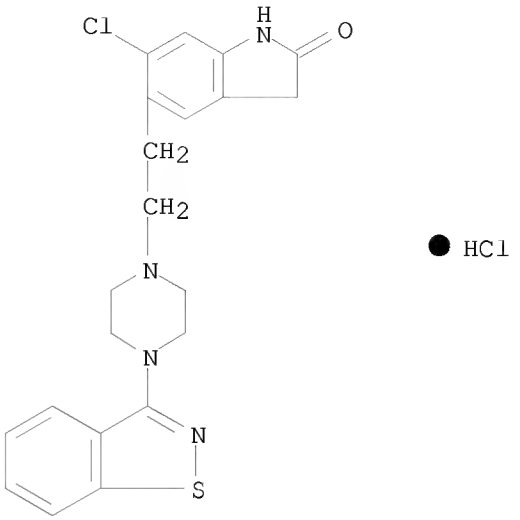


IT 122883-93-6P, Ziprasidone hydrochloride 138982-67-9P
, Ziprasidone hydrochloride monohydrate
RL: SPN (Synthetic preparation); PREP (Preparation)
(process for the preparation of ziprasidone hydrochloride salt via N-alkylation of benzoisothiazolylpiperazine hydrochloride with chloro(chloroethyl)oxindole followed by addition of HCl)
RN 122883-93-6 CAPLUS
CN 2H-Indol-2-one, 5-[2-[4-(1,2-benzisothiazol-3-yl)-1-piperazinyl]ethyl]-6-chloro-1,3-dihydro-, hydrochloride (1:1) (CA INDEX NAME)



RN 138982-67-9 CAPLUS
CN 2H-Indol-2-one, 5-[2-[4-(1,2-benzisothiazol-3-yl)-1-piperazinyl]ethyl]-6-chloro-1,3-dihydro-, hydrochloride, hydrate (1:1:1) (CA INDEX NAME)

PAGE 1-A



PAGE 2-A

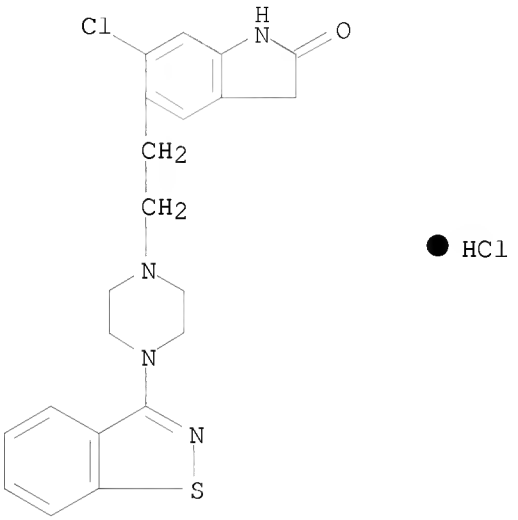
● H_2O

RE.CNT 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 7 OF 28 CAPLUS COPYRIGHT 2010 ACS on STN
AN 2010:358761 CAPLUS
TI New visible spectrophotometric methods for estimation of ziprasidone in pharmaceutical formulations
AU Sreelakshmi, A.; Devala Rao, G.; Sudhakara Sai Babu, G.
CS Department of Biotechnology, Montessori Mahila Kalasala, Andhra Pradesh, 520 010, India
SO Journal of Ultra Chemistry (2009), 5(3), 422-426
CODEN: JUCOAL; ISSN: 0973-3450
PB Journal of Ultra Chemistry
DT Journal
LA English
AB Ziprasidone is a typical antipsychotic agent. Two simple, sensitive and accurate spectrophotometric methods have been developed for the determination of ziprasidone hydrochloride (ZPD) in pure state and in its pharmaceutical formulations. The developed Method A is based on the diazocoupling reaction of the Brotton-Marshall's reagent with drug and it shows maximum absorption at max 540 nm; linearity in the range of 2-10 μg/mL. Method B is based on the reaction between drug and 1, 10-phenanthroline with ferric chloride and orthophosphoric acid to form a colored chromogen and it shows maximum absorption at λmax 520 nm and linearity in the range of 4-20 μg/mL. The results obtained were statistically evaluated and were found to be accurate and reproducible.
IT 122883-93-6, Ziprasidone hydrochloride
RL: ANT (Analyte); THU (Therapeutic use); ANST (Analytical study); BIOL

(Biological study); USES (Uses)
(simple, sensitive and accurate visible spectrophotometric method was effective for estimation of ziprasidone hydrochloride in pharmaceutical formulation and bulk drug)

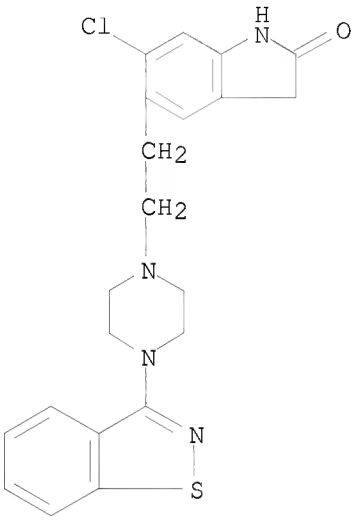
RN 122883-93-6 CAPLUS
CN 2H-Indol-2-one, 5-[2-[4-(1,2-benzisothiazol-3-yl)-1-piperazinyl]ethyl]-6-chloro-1,3-dihydro-, hydrochloride (1:1) (CA INDEX NAME)



RE.CNT 7 THERE ARE 7 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 8 OF 28 CAPLUS COPYRIGHT 2010 ACS on STN
AN 2010:135631 CAPLUS
DN 152:177366
TI High performance liquid chromatographic estimation of ziprasidone in pharmaceutical dosage forms
AU Prasanthi, N. L.; Rama Rao, N.
CS Chalapathi Institute of Pharmaceutical Sciences, Guntur, 522034, India
SO International Journal of Pharmacy and Pharmaceutical Sciences (2010), 2(Suppl. 1), 120-122
CODEN: IJPPKB; ISSN: 0975-1491
URL: http://www.ijppsjournal.com/Vol2Suppl1/421.pdf
PB International Journal of Pharmacy and Pharmaceutical Sciences
DT Journal; (online computer file)
LA English
AB A simple, sensitive and rapid reverse phase high performance liquid chromatog. method was developed for the estimation of ziprasidone HCl (ZPR) in pure and in pharmaceutical dosage forms. Phenomex C18 column (250 + 4.6 mm, 5 μ) was used with a mobile phase containing a mixture of 0.02 M KH2PO4 (pH-3), methanol and aetonitrile in the ratio of 40:30:30. The flow rate was 1.5 mL/min and effluents were monitored at 219 nm and eluted at 3.37 min. Calibration curve was plotted with a range from 10-50 μg/mL. The assay was validated for the parameters like accuracy, precision, robustness, and system suitability parameters. The proposed method can be useful in the routine anal. for the determination of ziprasidone in

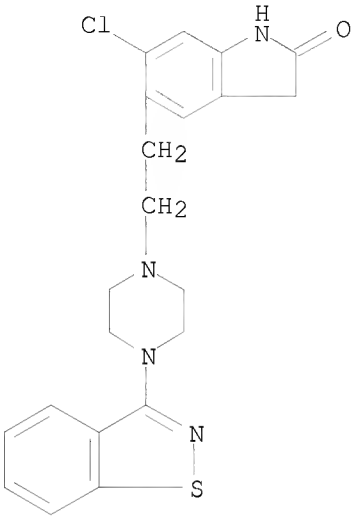
pharmaceutical dosage forms.
IT 146939-27-7, Ziprasidone
RL: ANT (Analyte); ANST (Analytical study)
(RP-HPLC determination of ziprasidone in pharmaceutical dosage forms)
RN 146939-27-7 CAPLUS
CN 2H-Indol-2-one, 5-[2-[4-(1,2-benzisothiazol-3-yl)-1-piperazinyl]ethyl]-6-chloro-1,3-dihydro- (CA INDEX NAME)



OSC.G 1 THERE ARE 1 CAPLUS RECORDS THAT CITE THIS RECORD (1 CITINGS)
RE.CNT 14 THERE ARE 14 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 9 OF 28 CAPLUS COPYRIGHT 2010 ACS on STN
AN 2009:1022000 CAPLUS
DN 151:230287
TI Stability indicating methods for determination of ziprasidone hydrochloride
AU Abbas, Samah Sayed; Zaazaa, Hala El-Sayed; El-Ghobashy, Mohamed Refaat; Fayez, Yasmin Mohammed; abdel Fattah, Soheir
CS Analytical Chemistry Department, Faculty of Pharmacy, Cairo University, Cairo, 11562, Egypt
SO Analytical Chemistry: An Indian Journal (2009), 8(2), 255-264
CODEN: ACNHAY; ISSN: 0974-7419
PB Trade Science Inc.
DT Journal
LA English
AB The development and validation of a quant. anal. method for determination of Ziprasidone Hydrochloride (ZIP) in pure form and pharmaceutical product using ratio subtraction, first derivative ratio, TLC-densitometry and multivariate calibration techniques were presented. The proposed methods are accurate, precise, sensitive, and selective and can be used in quality control labs.
IT 146939-27-7, Ziprasidone
RL: ANT (Analyte); ANST (Analytical study)
(determination of ziprasidone by TLC)
RN 146939-27-7 CAPLUS

CN 2H-Indol-2-one, 5-[2-[4-(1,2-benzisothiazol-3-yl)-1-piperazinyl]ethyl]-6-chloro-1,3-dihydro- (CA INDEX NAME)



RE.CNT 18 THERE ARE 18 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 10 OF 28 CAPLUS COPYRIGHT 2010 ACS on STN
AN 2008:126376 CAPLUS
DN 148:175836
TI Methods and compositions of gene delivery to epithelial cells through bile acid peptide conjugate delivery agents for systemic and local therapy
IN Hilfinger, John; Kish, Phillip; Roessler, Blake
PA USA
SO U.S. Pat. Appl. Publ., 49pp., Cont.-in-part of U.S. Ser. No. 706,738.
CODEN: USXXCO
DT Patent
LA English
FAN.CNT 3

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	US 20080026077	A1	20080131	US 2006-608370	20061208
	US 20050026859	A1	20050203	US 2003-706738	20031112
PRAI	US 2002-425379P	P	20021112		
	US 2003-706738	A2	20031112		
	US 2005-748390P	P	20051208		

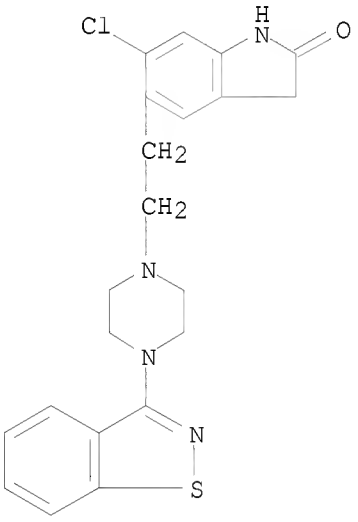
OS MARPAT 148:175836
AB A method is provided for the delivery of a therapeutic to epithelial cells through the use of a bile acid conjugated to a peptide, the peptide being ionically charged at physiol. pH. The complex is well suited for oral and other forms of therapeutic administration of therapeutic drugs known in the art in order to exact systemic and/or localized effect. Intestinal epithelial cells, as well as non-epithelial cells within the gastrointestinal tract and other target cells receive with greater efficiency a charged therapeutic when delivered with an oppositely charged bile acid conjugate (BAC) through oral administration, direct injection, or infusive administrations, thereby increasing bioavailability. Thus,

BAC was synthesized by solid phase chemical: a six L-arginine peptide was first synthesized on the resin bed using standard 9-fluorenylmethoxycarbonyl (FMOC) chemical To attach the bile acid salt, an excess of chendoxycholic acid was added to the resin and allowed to react with the immobilized peptide; after conjugation, the N-hexapeptide chenoxycholamide BAC was cleaved from the resin and purified to greater than 95% purity by HPLC.

IT 146939-27-7, Ziprasidone
RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(methods and compns. of gene delivery to epithelial cells through bile acid peptide conjugate delivery agents for systemic and local therapy)

RN 146939-27-7 CAPLUS

CN 2H-Indol-2-one, 5-[2-[4-(1,2-benzisothiazol-3-yl)-1-piperazinyl]ethyl]-6-chloro-1,3-dihydro- (CA INDEX NAME)



L6 ANSWER 11 OF 28 CAPLUS COPYRIGHT 2010 ACS on STN

AN 2007:1278487 CAPLUS

DN 147:502394

TI Novel process for production of 5-{2-[4-(1,2-benzisothiazol-3-yl)-1-piperazinyl]-ethyl}-6-chloro-1,3-dihydro-2h-indol-2-one (ziprasidone)

IN Neu, Joszef; Toerley, Joszef; Garadnay, Sandor

PA Richter Gedeon Nyrt., Hung.

SO PCT Int. Appl., 10 pp.
CODEN: PIXXD2

DT Patent

LA English

FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2007125374	A2	20071108	WO 2007-HU38	20070502
	WO 2007125374	A3	20080103		

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BH, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, GT, HN, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LA, LC, LK, LR, LS, LT, LU, LY, MA, MD, MG, MK,

MN, MW, MX, MY, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RS, RU, SC, SD, SE, SG, SK, SL, SM, SV, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, ZA, ZM, ZW
RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, MT, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AP, EA, EP, OA

HU	2006000347	A2	20080929	HU 2006-347	20060502
HU	2006000347	A3	20081028		
CA	2649374	A1	20071108	CA 2007-2649374	20070502
EP	2013203	A2	20090114	EP 2007-733855	20070502

R: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LI, LT, LU, LV, MC, MT, NL, PL, PT, RO, SE, SI, SK, TR, AL, BA, HR, MK, RS

CN	101437817	A	20090520	CN 2007-80015835	20081031
US	20090111988	A1	20090430	US 2008-298590	20081124
IN	2008KN04825	A	20090320	IN 2008-KN4825	20081128
PRAI HU	2006-347	A	20060502		
WO	2007-HU38	W	20070502		

ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT

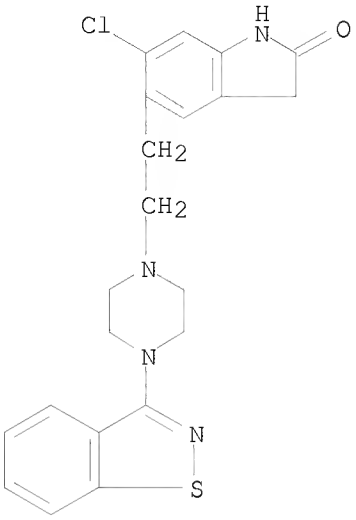
OS CASREACT 147:502394

AB The present invention provides a novel, industrially easily realisable and economically preferable process for production of pure 5-{2-[4-(1,2-benzisothiazol-3-yl)-1-piperazinyl]-ethyl}-6-chloro-1,3-dihydro-2H-indol-2-one i.e., ziprasidone hydrochloride. According to the invention the intermediate compound 5-(2-bromoethyl)-6-chloro-1,3-dihydro-2H-indol-2-one is produced from 5-(2-bromoacetyl)-6-chloro-1,3-dihydro-2H-indole-2-one. The highly pure ziprasidone base is obtained in the reaction of 3-piperazinyl-1,2-benzisothiazol with 5-(2-bromoethyl)-6-chloro-1,3-dihydro-2H-indol-2-one in an organic solvent or organic solvent mixture

IT 146939-27-7P, 5-[2-[4-(1,2-Benzisothiazol-3-yl)-1-piperazinyl]ethyl]-6-chloro-1,3-dihydro-2H-indol-2-one
RL: IMF (Industrial manufacture); SPN (Synthetic preparation); PREP (Preparation)
(preparation of 5-{2-[4-(1,2-benzisothiazol-3-yl)-1-piperazinyl]-ethyl}-6-chloro-1,3-dihydro-2h-indol-2-one (ziprasidone))

RN 146939-27-7 CAPLUS

CN 2H-Indol-2-one, 5-[2-[4-(1,2-benzisothiazol-3-yl)-1-piperazinyl]ethyl]-6-chloro-1,3-dihydro- (CA INDEX NAME)

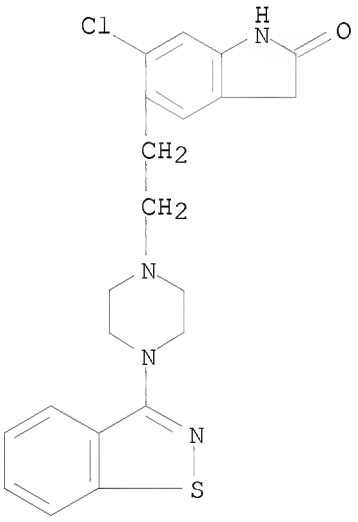


L6 ANSWER 12 OF 28 CAPLUS COPYRIGHT 2010 ACS on STN
AN 2007:855888 CAPLUS
DN 147:336088
TI Action of novel antipsychotics at human dopamine D3 receptors coupled to G protein and ERK1/2 activation
AU Bruins Slot, Liesbeth A.; Palmier, Christiane; Tardif, Stephanie; Cussac, Didier
CS Department of Cellular and Molecular Biology, Centre de Recherche Pierre Fabre, Castres, F 81106, Fr.
SO Neuropharmacology (2007), 53(2), 232-241
CODEN: NEPHBW; ISSN: 0028-3908
PB Elsevier B.V.
DT Journal
LA English
AB The effects of new generation antipsychotic drugs (APDs) targeting dopamine D2 and serotonin 5-HT1A receptors were compared with typical and atypical APDs on phosphorylation of extracellular signal-regulated kinase 1/2 (ERK 1/2) and measures of G protein activation in CHO cell lines stably expressing the human dopamine D3 receptor. The preferential dopamine D3 agonists (+)-7-OH-DPAT and PD128907, like dopamine and quinelorane, efficaciously stimulated ERK 1/2 phosphorylation at dopamine D3 receptors. In contrast, in [35S]GTPγS binding expts., (+)-7-OH-DPAT exhibited partial agonist properties, while PD128907 and quinelorane maintained full agonist properties. The preferential dopamine D3 ligand BP 897 and the antidyskinetic sarizotan partially activated ERK 1/2 phosphorylation while exerting no agonist activity on GTPγS binding, suggesting signal amplification at the MAP kinase level. Antipsychotics differed in their ability to inhibit both agonist-stimulated GTPγS binding and ERK 1/2 phosphorylation, but all typical and atypical compds. tested acted as dopamine D3 receptor antagonists with the exception of n-desmethylclozapine, the active metabolite of clozapine, which partially activated dopamine D3 receptor-mediated ERK 1/2 phosphorylation. Among the new generation dopamine D2/serotonin 5-HT1A antipsychotics, only F 15063 and SLV313 acted as pure dopamine D3 receptor antagonists, bifeprunox was highly

efficacious whereas SSR181507 and aripiprazole showed marked partial agonist properties for ERK 1/2 phosphorylation. In contrast, in the GTPγS binding study, aripiprazole was devoid of agonist properties and bifeprunox, and to an even lesser extent SSR181507, only weakly stimulated GTPγS binding. In summary, these findings underline the differences of dopamine D3 properties of new generation antipsychotics which may need to be considered in understanding their diverse therapeutic actions.

IT 146939-27-7, Ziprasidone
RL: DMA (Drug mechanism of action); PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(action of novel antipsychotics at human dopamine D3 receptors coupled to G protein and ERK1/2 activation)

RN 146939-27-7 CAPLUS
CN 2H-Indol-2-one, 5-[2-[4-(1,2-benzisothiazol-3-yl)-1-piperazinyl]ethyl]-6-chloro-1,3-dihydro- (CA INDEX NAME)

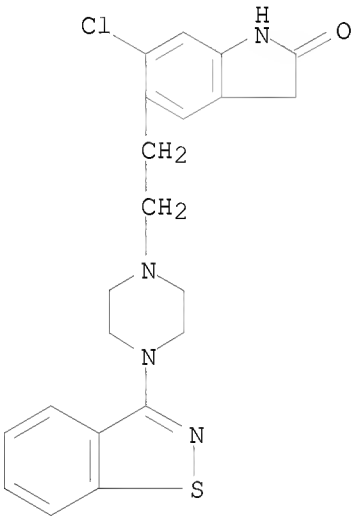


OSC.G 3 THERE ARE 3 CAPLUS RECORDS THAT CITE THIS RECORD (3 CITINGS)
RE.CNT 50 THERE ARE 50 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 13 OF 28 CAPLUS COPYRIGHT 2010 ACS on STN
AN 2007:795480 CAPLUS
DN 147:211912
TI Preparation of ziprasidone
IN Tang, Chaojun; Yao, Chengzhi
PA Hangzhou Shengmei Pharmaceutical Co., Ltd., Peop. Rep. China
SO Faming Zhuanli Shenqing Gongkai Shuomingshu, 12pp.
CODEN: CNXXEV
DT Patent
LA Chinese
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	CN 1995038	A	20070711	CN 2006-10125949	20060823
	CN 100491375	C	20090527		

PRAI CN 2006-10052312 A 20060701
OS CASREACT 147:211912; MARPAT 147:211912
AB Said method preps. ziprasidone by reduction of 5-(2-(4-(1,2-benzisothiazol-3-yl)piperazinyl)acetyl)-6-chloro-1,3-dihydro-2H-indol-2-one in organic acid solvent with reducing agent. Said solvent is trichloroacetic acid, trifluoroacetic acid, or trichloropropionic acid. Said reducing agent is trimethylsilane or triethylsilane. The benefits of the method is: high yield, over 85%, simple operation, short reaction time, greatly reduced costs, and produces high purity product with only adjustment of pH, and facilitates industrial production
IT 146939-27-7P, Ziprasidone
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of ziprasidone)
RN 146939-27-7 CAPLUS
CN 2H-Indol-2-one, 5-[2-[4-(1,2-benzisothiazol-3-yl)-1-piperazinyl]ethyl]-6-chloro-1,3-dihydro- (CA INDEX NAME)



OSC.G 1 THERE ARE 1 CAPLUS RECORDS THAT CITE THIS RECORD (1 CITINGS)

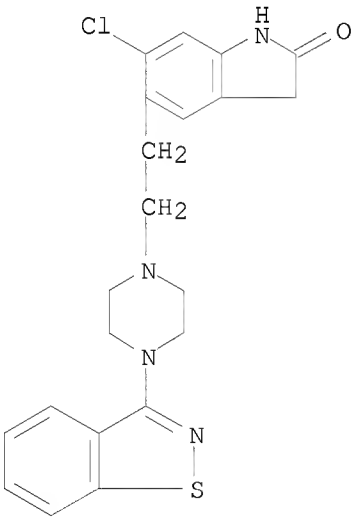
L6 ANSWER 14 OF 28 CAPLUS COPYRIGHT 2010 ACS on STN
AN 2007:606514 CAPLUS
DN 147:23573
TI Treatment approach in case of Co-morbidity of obsessive-compulsive disorder in schizophrenia: efficacy and side effects of clozapine and chlorimipramine
AU Papazisis, G.; Mastrogianni, A.; Katsigiannopoulos, K.; Karastergiou, A.
CS D'Acute Ward, Psychiatric Hospital of Thessaloniki, Greece
SO Epitheorese Klinikes Farmakologias kai Farmakokinetikes (2007), 25(1), 86-88
CODEN: EKFFEO; ISSN: 1011-6575
PB Pharmakon-Press
DT Journal
LA Greek
AB Treatment of OCD in comorbidity with schizophrenia is a therapeutic challenge because these disorders have notable neurobiol. and neuroanatomical areas of overlap. Atypical antipsychotics have a

paradoxical efficacy in pure OCD but there are some case reports of exacerbation of OCD in schizophrenic patients with comorbid OCD. In our case report a young man who was diagnosed with both schizophrenia (undifferentiated type, continuous) and obsessive - compulsive disorder (Y-BOCS score: 27) was treated with a combination of antipsychotic and antidepressant medication in high daily doses. Clozapine, an atypical antipsychotic, successfully reduced the psychotic symptoms but in doses over 400 mg/day was worsening the OCD (Y-BOCS score 31). Chlorimipramine is suggested to be effective in OCD in doses over 225 mg/day but in our case doses over 150 mg/day resulted to a rapid deterioration of psychotic symptoms. Thus a second atypical antipsychotic (ziprasidone at 160 mg/day) was added in the treatment and a lessening of both the psychotic and the compulsive symptoms to a more tolerable level has been achieved. Clozapine demonstrates binding affinity and antagonism for the D2 dopamine receptors but also for the 5-HT2A and 5-HT2C receptor. The serotonergic (5-HT) system is known to play a major role in OCD, and anti-depressants are effective agents in the treatment of OCD. The finding that long term clozapine use blocks 5-HT2C receptors leads to the hypothesis that supersensitivity of the 5-HT2C receptor may be responsible for clozapine-induced OCD. The paradox is the efficacy of clozapine in the treatment of pure OCD without psychotic symptoms. Thus, patients with comorbid OCD and Schizophrenia may represent a special subtype of schizophrenia population, the schizo-obsessive subtype, which requires distinct therapeutic approaches.

IT 146939-27-7, Ziprasidone
RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(efficacy and side effects of clozapine and chlorimipramine for treatment of schizo-obsessive disorder)

RN 146939-27-7 CAPLUS

CN 2H-Indol-2-one, 5-[2-[4-(1,2-benzisothiazol-3-yl)-1-piperazinyl]ethyl]-6-chloro-1,3-dihydro- (CA INDEX NAME)



L6 ANSWER 15 OF 28 CAPLUS COPYRIGHT 2010 ACS on STN

AN 2007:146409 CAPLUS

DN 146:212863
TI Thin-film drug delivery device
IN Hale, Ron L.; Lu, Amy T.; Myers, Daniel J.; Rabinowitz, Joshua D.; Wensley, Martin J.
PA USA
SO U.S. Pat. Appl. Publ., 74pp., Cont.-in-part of U.S. Ser. No. 322,227, abandoned.
CODEN: USXXCO
DT Patent
LA English
FAN.CNT 39

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	US 20070031340	A1	20070208	US 2003-633877	20030804
	US 7585493	B2	20090908		
	US 20030051728	A1	20030320	US 2001-57198	20011026
	US 7766013	B2	20100803	US 2001-57197	20011026
	US 20030015197	A1	20030123	US 2002-146088	20020513
	US 7537009	B2	20090526		
	US 20030017115	A1	20030123	US 2002-146516	20020513
	US 6737042	B2	20040518		
	US 20030035776	A1	20030220	US 2002-146515	20020513
	US 6682716	B2	20040127		
	US 20030209240	A1	20031113	US 2002-146086	20020513
	US 20030007933	A1	20030109	US 2002-150267	20020515
	US 6797259	B2	20040928		
	US 20030007934	A1	20030109	US 2002-150268	20020515
	US 6780399	B2	20040824		
	US 20030091511	A1	20030515	US 2002-150056	20020515
	US 6805853	B2	20041019		
	US 20030017117	A1	20030123	US 2002-151596	20020516
	US 6855310	B2	20050215		
	US 20030206869	A1	20031106	US 2002-151626	20020516
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	US 6740307	B2	20040525		
	US 20030012740	A1	20030116	US 2002-153139	20020520
	US 6814954	B2	20041109		
	US 20030017118	A1	20030123	US 2002-152639	20020520
	US 6716416	B2	20040406		
	US 20030021754	A1	20030130	US 2002-152640	20020520
	US 6743415	B2	20040601		
	US 20030012737	A1	20030116	US 2002-153311	20020521
	US 6884408	B2	20050426		
	US 20030015189	A1	20030123	US 2002-153831	20020521
	US 6740308	B2	20040525		
	US 20030017119	A1	20030123	US 2002-153839	20020521
	US 6776978	B2	20040817		
	US 20030032638	A1	20030213	US 2002-153313	20020521
	US 20030005925	A1	20030109	US 2002-155621	20020522
	US 6759029	B2	20040706		
	US 20030012738	A1	20030116	US 2002-155373	20020522
	US 6737043	B2	20040518		

US 20030017120	A1	20030123	US 2002-155703	20020522
US 6803031	B2	20041012		
US 20030021755	A1	20030130	US 2002-155705	20020522
US 6805854	B2	20041019		
US 20030000518	A1	20030102	US 2002-155097	20020523
US 6716417	B2	20040406		
US 20030015190	A1	20030123	US 2002-154594	20020523
US 6740309	B2	20040525		
US 20030017114	A1	20030123	US 2002-154765	20020523
US 6814955	B2	20041109		
US 20030118512	A1	20030626	US 2002-280315	20021025
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R: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LI, LU, MC, NL, PT, RO, SE, SI, SK, TR				
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US 7063830	B2	20060620		
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US 7169378	B2	20070130		
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	US 2001-332279P	P	20011121		
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US 2002-322227	B2	20021217
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US 2001-296225P	P	20010605
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US 2001-345145P	P	20011109
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US 2001-345882P	P	20011109
US 2001-332165P	P	20011121
US 2002-50056	B2	20020114
US 2002-57098	A2	20020123
AU 2002-303833	A3	20020521
CN 2003-814156	A3	20030513
EP 2003-734014	A3	20030513
US 2003-633876	A2	20030804
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US 2004-768220	A1	20040129
US 2004-768281	A1	20040129
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US 2004-769046	A1	20040130
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US 2004-816492	A1	20040401
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US 2005-283414	B1	20051117
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US 2006-488943	B1	20060718
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US 2006-504419	A1	20060815
US 2007-687466	B1	20070316

ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT

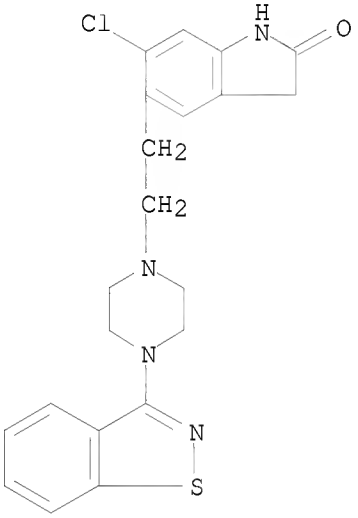
AB An article for use in an aerosol device, for producing an aerosol of a drug composition is disclosed. The article includes a heat-conductive substrate having a surface with a selected surface area, and a drug composition film on the substrate surface having a selected film thickness of 0.05-20 μm. The film thickness is such that an aerosol formed by vaporizing the drug composition by heating the substrate and condensing the vaporized compound contains ≤10% drug-degradation product and at ≥50% of the

total amount of drug composition contained in the film. The selected substrate surface area is such as to yield an effective human therapeutic dose of the drug aerosol. Also disclosed are methods of making and using the article. Betahistine was coated on a metal substrate and heated to 300° to form drug-aerosol particles. Purity of the drug-aerosol particles was determined to be 99.3%.

IT 146939-27-7, Ziprasidone
RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(thin-film drug delivery device)

RN 146939-27-7 CAPLUS

CN 2H-Indol-2-one, 5-[2-[4-(1,2-benzisothiazol-3-yl)-1-piperazinyl]ethyl]-6-chloro-1,3-dihydro- (CA INDEX NAME)



OSC.G 14 THERE ARE 14 CAPLUS RECORDS THAT CITE THIS RECORD (15 CITINGS)

L6 ANSWER 16 OF 28 CAPLUS COPYRIGHT 2010 ACS on STN

AN 2006:1313532 CAPLUS

DN 146:50578

TI UV spectrophotometric determination of ziprasidone hydrochloride in pure and pharmaceutical formulation

AU Chauhan, C. S.; Choudhury, P. K.

CS Department of Pharmacy, B.N. College of Pharmacy, Udaipur, 313 005, India

SO Asian Journal of Chemistry (2007), 19(1), 819-820
CODEN: AJCHEW; ISSN: 0970-7077

PB Asian Journal of Chemistry

DT Journal

LA English

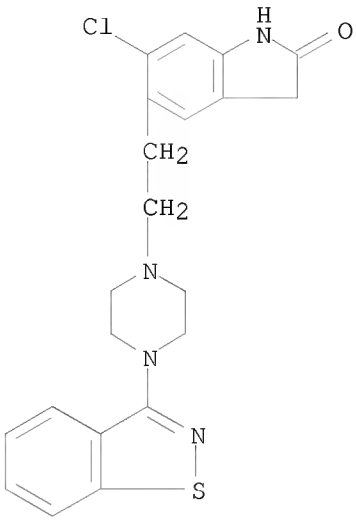
AB Simple and sensitive method was developed for determination of ziprasidone hydrochloride monohydrate (ZPH) in both pure and pharmaceutical formulation. This method obeys Beer's law in the concentration range of 10-70 μg/mL, exhibiting maximum absorption at 318 nm. In this method no interference from the common pharmaceutical excipients was observed

IT 138982-67-9, Ziprasidone hydrochloride monohydrate
RL: ANT (Analyte); ANST (Analytical study)
(UV spectrophotometric determination of ziprasidone HCl)

RN 138982-67-9 CAPLUS

CN 2H-Indol-2-one, 5-[2-[4-(1,2-benzisothiazol-3-yl)-1-piperazinyl]ethyl]-6-chloro-1,3-dihydro-, hydrochloride, hydrate (1:1:1) (CA INDEX NAME)

PAGE 1-A



● HCl

PAGE 2-A

● H_2O

OSC.G 1 THERE ARE 1 CAPLUS RECORDS THAT CITE THIS RECORD (1 CITINGS)
RE.CNT 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 17 OF 28 CAPLUS COPYRIGHT 2010 ACS on STN
AN 2006:952669 CAPLUS
DN 145:321805
TI Preparation of acid addition salts of ziprasidone and intermediates thereof by solid phase-gas phase reactions
IN Rey, Allan W.; Derdour, Lofti; Murthy, K.S. Keshava; Datta, Probal Kanti; Ehlert, Martin; Horne, Stephen, E.
PA Apotex Pharmachem Inc., Can.
SO PCT Int. Appl., 21 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI WO 2006094396	A1	20060914	WO 2006-CA338	20060310

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, LY, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE,

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VN, YU, ZA, ZM, ZW
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CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH,
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KG, KZ, MD, RU, TJ, TM

CA 2500667	A1	20060911	CA 2005-2500667		20050311
US 20060205947	A1	20060914	US 2005-168524		20050629
US 7745624	B2	20100629			
EP 1856115	A1	20071121	EP 2006-705291		20060310

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IS, IT, LI, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR

PRAI CA 2005-2500667	A	20050311	
WO 2006-CA338	W	20060310	

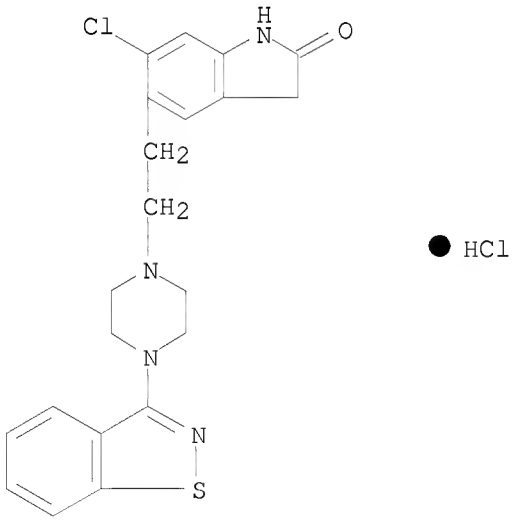
ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT

AB A process for the preparation of an acid addition salt of ziprasidone base and intermediates thereof comprising exposing the ziprasidone base in solid form to a gaseous acid in a substantially dry environment. The process is solvent free and the gaseous acid is mixed with one or more inert gases. The process produces ziprasidone hydrochloride in high yield and purity and is reliable, consistent and suitable for large scale manufacturing The process can also be used to prepare ziprasidone hydrobromide and ziprasidone acetate.

IT 122883-93-6P, Ziprasidone hydrochloride 909389-55-5P
, Ziprasidone hydrobromide 909389-56-6P
RL: PRP (Properties); SPN (Synthetic preparation); THU (Therapeutic use);
BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of acid addition salts of ziprasidone and intermediates thereof by
solid phase-gas phase reactions)

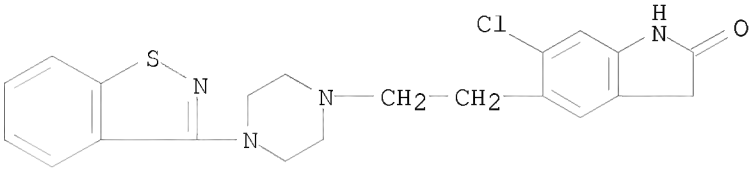
RN 122883-93-6 CAPLUS

CN 2H-Indol-2-one, 5-[2-[4-(1,2-benzisothiazol-3-yl)-1-piperazinyl]ethyl]-6-chloro-1,3-dihydro-, hydrochloride (1:1) (CA INDEX NAME)



RN 909389-55-5 CAPLUS

CN 2H-Indol-2-one, 5-[2-[4-(1,2-benzisothiazol-3-yl)-1-piperazinyl]ethyl]-6-chloro-1,3-dihydro-, hydrobromide (5:8) (CA INDEX NAME)



●8/5 HBr

RN 909389-56-6 CAPLUS
CN 2H-Indol-2-one, 5-[2-[4-(1,2-benzisothiazol-3-yl)-1-piperazinyl]ethyl]-6-chloro-1,3-dihydro-, acetate (1:2) (CA INDEX NAME)

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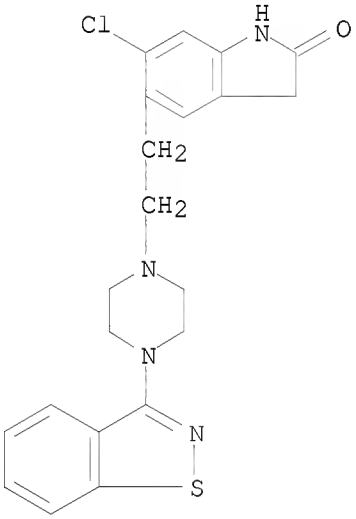
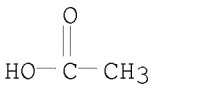
CRN 146939-27-7
CMF C21 H21 Cl N4 O S

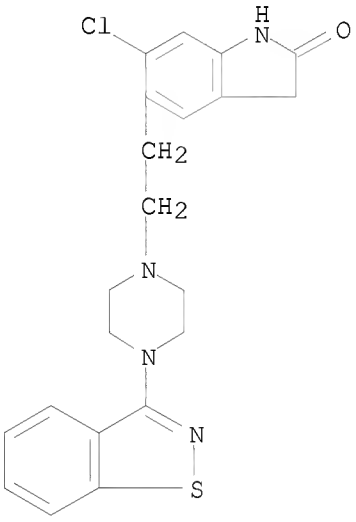


CM 2

CRN 64-19-7
CMF C2 H4 O2



IT 146939-27-7, Ziprasidone
RL: RCT (Reactant); RACT (Reactant or reagent)
(preparation of acid addition salts of ziprasidone and intermediates thereof by
solid phase-gas phase reactions)
RN 146939-27-7 CAPLUS
CN 2H-Indol-2-one, 5-[2-[4-(1,2-benzisothiazol-3-yl)-1-piperazinyl]ethyl]-6-chloro-1,3-dihydro- (CA INDEX NAME)



RE.CNT 10 THERE ARE 10 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 18 OF 28 CAPLUS COPYRIGHT 2010 ACS on STN
AN 2006:768275 CAPLUS
DN 145:188913
TI Process for preparing ziprasidone using silylated intermediates
IN Reddy, Bandi Parthasaradhi; Reddy, Kura Rathnakar; Reddy, Rapolu Raji; Reddy, Dasari Muralidhara; Reddy, Itiyala Srinivas
PA Hetero Drugs Limited, India
SO PCT Int. Appl., 28pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI WO 2006080025	A1	20060803	WO 2005-IN30	20050127

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LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI,
NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM,
SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW
RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE,
IS, IT, LT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF,
CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM,
KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG,
KZ, MD, RU, TJ, TM

IN 2005CN00096	A	20070907	IN 2005-CN96		20050127
EP 1841764	A1	20071010	EP 2005-703249		20050127
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US 20090163513	A1	20090625	US 2006-596675		20060621
PRAI WO 2005-IN30	W	20050127			

ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT

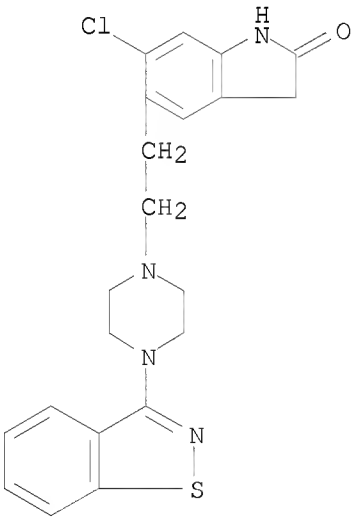
OS CASREACT 145:188913; MARPAT 145:188913

AB A process is described for the preparation of high-purity ziprasidone, pharmaceutically acceptable acid addition salts, solvates, and hydrates, using silylated intermediates, and a purification method is also presented. Thus, 1-(1,2-benzisothiazol-3-yl)piperazine is silylated with trimethylsilylchloride in methylene chloride in the presence of triethylamine and the solvent is distilled off to obtain silylated 1-(1,2-benzisothiazol-3-yl)piperazine. The silylated compound is reacted with 5-(2-chloroethyl)-6-chloro-oxindole in the presence of sodium carbonate to obtain ziprasidone.

IT 146939-27-7P, Ziprasidone
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(process for preparing ziprasidone using silylated intermediates)

RN 146939-27-7 CAPLUS

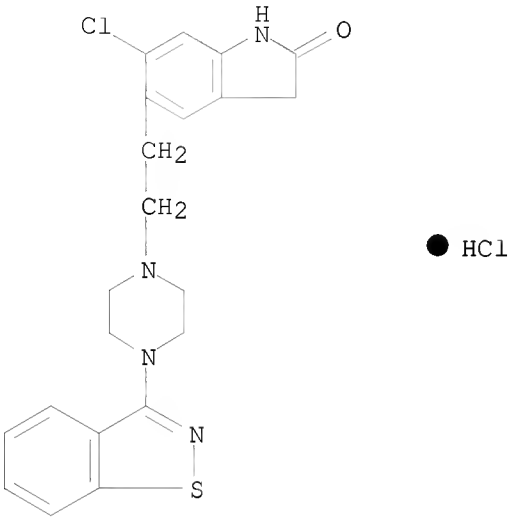
CN 2H-Indol-2-one, 5-[2-[4-(1,2-benzisothiazol-3-yl)-1-piperazinyl]ethyl]-6-chloro-1,3-dihydro- (CA INDEX NAME)



IT 122883-93-6P, Ziprasidone hydrochloride 138982-67-9P, Ziprasidone hydrochloride monohydrate 864175-99-5P, Ziprasidone hydrochloride hemihydrate

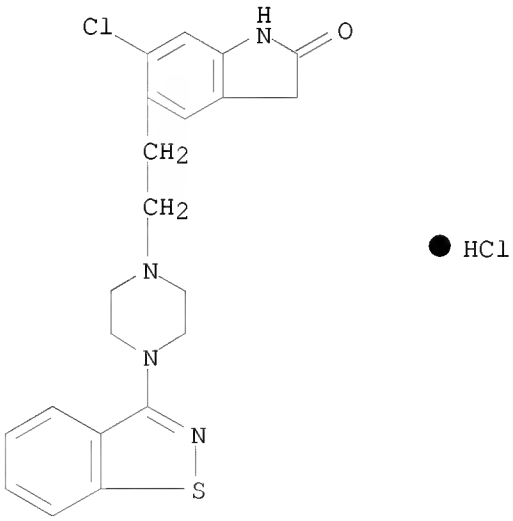
RL: SPN (Synthetic preparation); PREP (Preparation)
(process for preparing ziprasidone using silylated intermediates)

RN 122883-93-6 CAPLUS
CN 2H-Indol-2-one, 5-[2-[4-(1,2-benzisothiazol-3-yl)-1-piperazinyl]ethyl]-6-chloro-1,3-dihydro-, hydrochloride (1:1) (CA INDEX NAME)

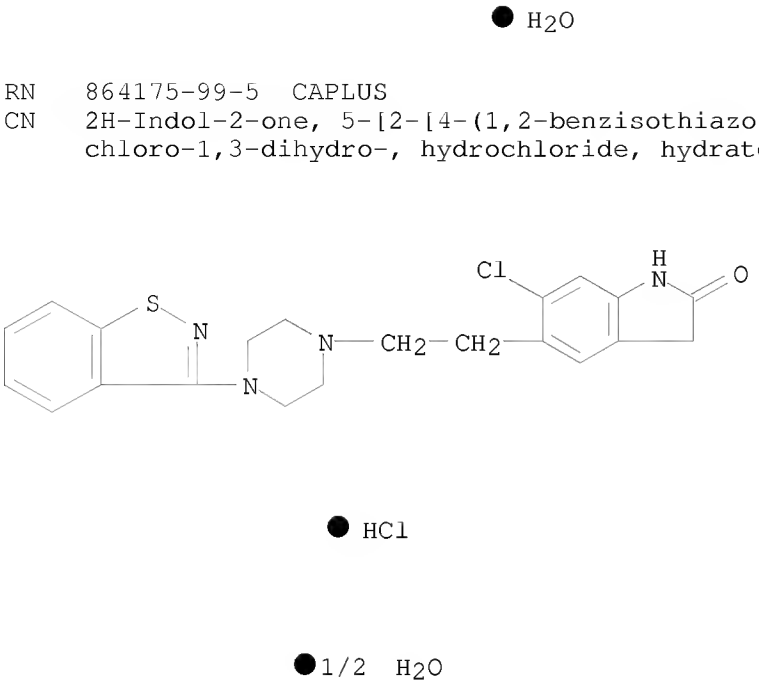


RN 138982-67-9 CAPLUS
CN 2H-Indol-2-one, 5-[2-[4-(1,2-benzisothiazol-3-yl)-1-piperazinyl]ethyl]-6-chloro-1,3-dihydro-, hydrochloride, hydrate (1:1:1) (CA INDEX NAME)

PAGE 1-A



PAGE 2-A



RN 864175-99-5 CAPLUS
CN 2H-Indol-2-one, 5-[2-[4-(1,2-benzisothiazol-3-yl)-1-piperazinyl]ethyl]-6-chloro-1,3-dihydro-, hydrochloride, hydrate (2:2:1) (CA INDEX NAME)

OSC.G 1 THERE ARE 1 CAPLUS RECORDS THAT CITE THIS RECORD (1 CITINGS)
RE.CNT 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 19 OF 28 CAPLUS COPYRIGHT 2010 ACS on STN
AN 2006:388767 CAPLUS
DN 144:412547
TI Process for the preparation of highly pure ziprasidone hydrochloride
IN Venkataraman, Sundaram; Rao, Uppala Venkata Bhaskara; Muvva, Venkateswarlu; Chitta, Vijayawardhan
PA Dr. Reddy's Laboratories Limited, India; Dr. Reddy's Laboratories, Inc.
SO U.S. Pat. Appl. Publ., 14 pp.
CODEN: USXXCO
DT Patent
LA English
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	US 20060089502	A1	20060427	US 2005-259321	20051026
	US 7777037	B2	20100817		
	IN 2005CH01573	A	20070928	IN 2005-CH1573	20051028
PRAI	US 2004-622370P	P	20041027		
	US 2004-630757P	P	20041124		

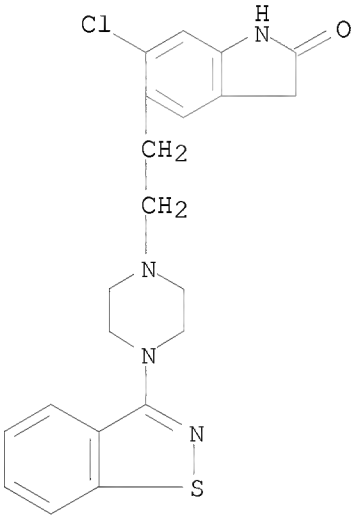
ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT
OS CASREACT 144:412547
AB A process for preparing ziprasidone hydrochloride, having low levels of keto ziprasidone and hydroxy ziprasidone impurities, comprises: (A) acylating

6-chloro-1,3-dihydro-2H-indol-2-one with chloroacetyl chloride to form 5-(2-chloroacetyl)-6-chloro-2-oxindole; (B) reducing 5-(2-chloroacetyl)-6-chloro-2-oxindole with an excess of triethylsilane in the presence of a strong acid to form a mixture of 5-(2-chloroethyl)-6-chlorooxindole, 5-(2-chloroacetyl)-6-chloro-2-oxindole, and 5-(2-chlorohydroxylethyl)-6-chlorooxindole; (C) condensing the mixture obtained in step (B) with 3-(1-piperazinyl)-1,2-benzisothiazole to form a mixture of ziprasidone and impurities; (D) purifying the ziprasidone by slurrying, recrystn., or a combination of the two methods; and (E) converting ziprasidone into ziprasidone hydrochloride by neutralization of the free base with HCl.

IT 146939-27-7P, Ziprasidone
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(in a process for the preparation of highly pure ziprasidone hydrochloride)

RN 146939-27-7 CAPLUS

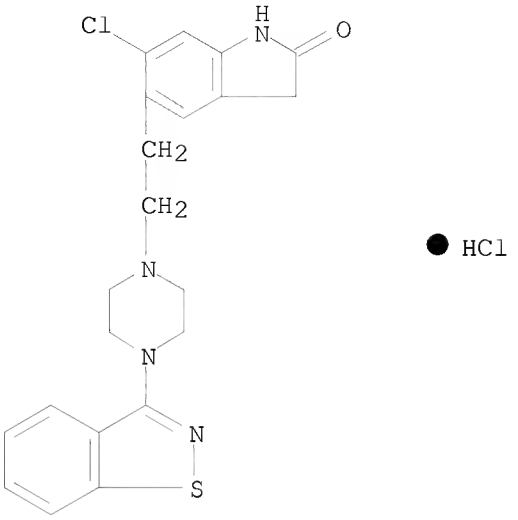
CN 2H-Indol-2-one, 5-[2-[4-(1,2-benzisothiazol-3-yl)-1-piperazinyl]ethyl]-6-chloro-1,3-dihydro- (CA INDEX NAME)



IT 122883-93-6P, Ziprasidone hydrochloride
RL: PUR (Purification or recovery); SPN (Synthetic preparation); PREP (Preparation)
(process for the preparation of highly pure ziprasidone hydrochloride)

RN 122883-93-6 CAPLUS

CN 2H-Indol-2-one, 5-[2-[4-(1,2-benzisothiazol-3-yl)-1-piperazinyl]ethyl]-6-chloro-1,3-dihydro-, hydrochloride (1:1) (CA INDEX NAME)



OSC.G 1 THERE ARE 1 CAPLUS RECORDS THAT CITE THIS RECORD (1 CITINGS)

L6 ANSWER 20 OF 28 CAPLUS COPYRIGHT 2010 ACS on STN
AN 2005:1004739 CAPLUS
DN 143:286452
TI Condensation process for the preparation of ziprasidone base and its salts
IN Kumar, Yatendra; Prasad, Mohan; Khanna, Mahivir Singh; Ahuja, Seema
PA Ranbaxy Laboratories Limited, India
SO PCT Int. Appl., 21 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2005085240	A2	20050915	WO 2005-IB512	20050228
	WO 2005085240	A3	20051201		
	W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
	RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
	EP 1720867	A2	20061115	EP 2005-708625	20050228
	EP 1720867	B1	20091209		
	R: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LI, LT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, AL, BA, HR, LV, MK, YU				
	AT 451367	T	20091215	AT 2005-708625	20050228
	PT 1720867	E	20100128	PT 2005-708625	20050228
	ES 2334800	T3	20100316	ES 2005-708625	20050228

	IN 2006DN05543	A	20070803	IN 2006-DN5543	20060922
	US 20080312254	A1	20081218	US 2008-598370	20080825
PRAI	IN 2004-DE307	A	20040227		
	IN 2004-DE1395	A	20040728		
	WO 2005-IB512	W	20050228		

ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT

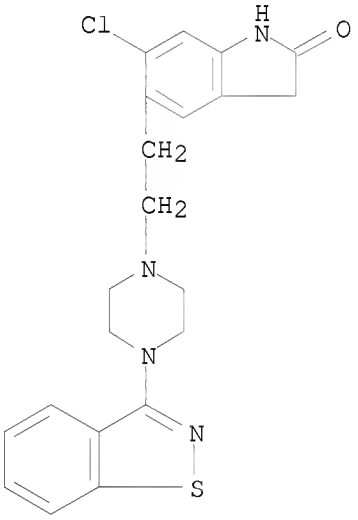
OS CASREACT 143:286452; MARPAT 143:286452

AB Substantially pure ziprasidone and its salts are prepared by the condensation of a 5-(2-leaving-group-substituted-ethyl)-6-chlorooxindole [e.g., 5-(2-chloroethyl)-6-chlorooxindole] with 1-(1,2-benzisothiazol-3-yl)piperazine in the presence of base, heating the mixture to approx. 50°, and isolating ziprasidone base. The preparation of acid addition salts of ziprasidone (e.g., ziprasidone hydrochloride) by neutralization is also described.

IT 146939-27-7P, Ziprasidone
RL: RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)
(condensation process for the preparation of ziprasidone base and its salts)

RN 146939-27-7 CAPLUS

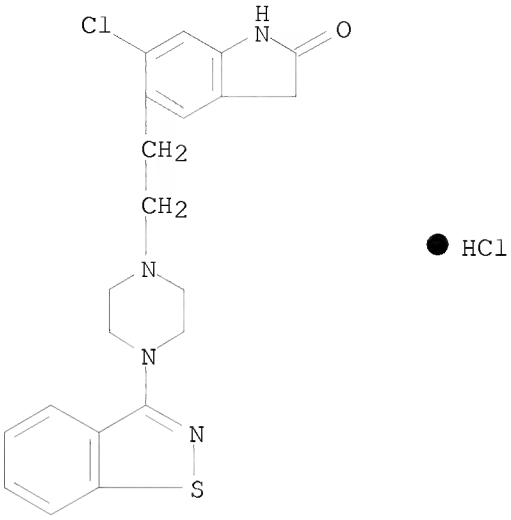
CN 2H-Indol-2-one, 5-[2-[4-(1,2-benzisothiazol-3-yl)-1-piperazinyl]ethyl]-6-chloro-1,3-dihydro- (CA INDEX NAME)



IT 122883-93-6P, Ziprasidone hydrochloride
RL: SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(condensation process for the preparation of ziprasidone base and its salts)

RN 122883-93-6 CAPLUS

CN 2H-Indol-2-one, 5-[2-[4-(1,2-benzisothiazol-3-yl)-1-piperazinyl]ethyl]-6-chloro-1,3-dihydro-, hydrochloride (1:1) (CA INDEX NAME)



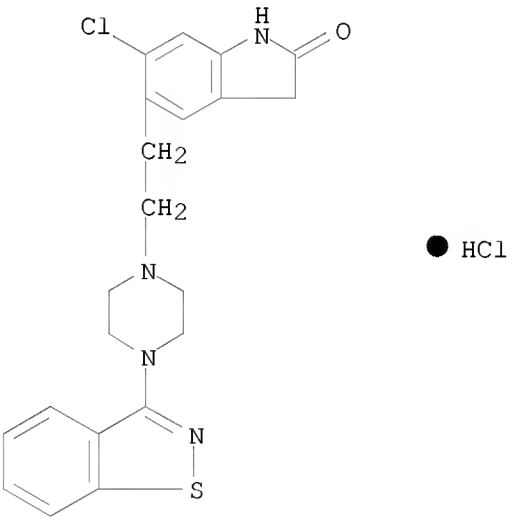
OSC.G 1 THERE ARE 1 CAPLUS RECORDS THAT CITE THIS RECORD (1 CITINGS)
RE.CNT 11 THERE ARE 11 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 21 OF 28 CAPLUS COPYRIGHT 2010 ACS on STN
AN 2005:638720 CAPLUS
DN 143:139204
TI Ziprasidone formulations
IN Boehm, Garth; Dundon, Josephine
PA Alpharma, Inc., USA
SO PCT Int. Appl., 96 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2005065660	A2	20050721	WO 2004-US43886	20041223
	WO 2005065660	A3	20070607		
	W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW, SM				
	RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, AP, EA, EP, OA				
	CA 2552126	A1	20050721	CA 2004-2552126	20041223
	US 20050163858	A1	20050728	US 2004-22041	20041223
	EP 1703898	A2	20060927	EP 2004-815877	20041223
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, PL, SK, BA, HR, IS, YU				

IN 2006DN04394 A 20070615 IN 2006-DN4394 20060728
PRAI US 2003-533594P P 20031231
WO 2004-US43886 W 20041223
ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT
AB Ziprasidone formulations, including controlled-release formulations, formulations containing ziprasidone dihydrochloride, and combinations of ziprasidone and an addnl. active agent are described.
IT 138982-67-9 146939-27-7, Geodon
858641-42-6 858641-43-7
RL: PEP (Physical, engineering or chemical process); PKT (Pharmacokinetics); PYP (Physical process); THU (Therapeutic use); BIOL (Biological study); PROC (Process); USES (Uses)
(ziprasidone formulations)
RN 138982-67-9 CAPLUS
CN 2H-Indol-2-one, 5-[2-[4-(1,2-benzisothiazol-3-yl)-1-piperazinyl]ethyl]-6-chloro-1,3-dihydro-, hydrochloride, hydrate (1:1:1) (CA INDEX NAME)

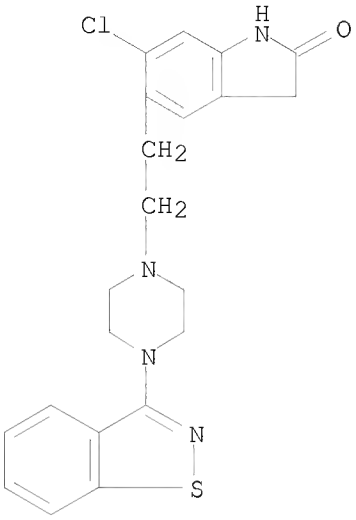
PAGE 1-A



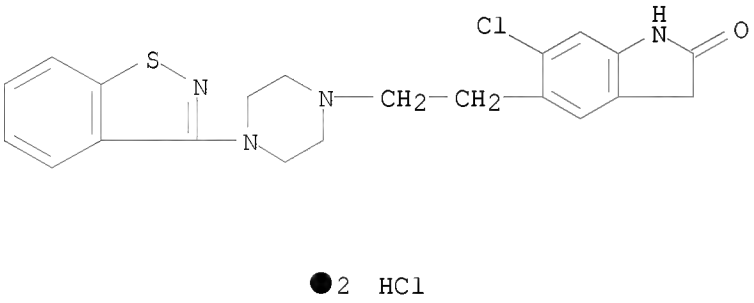
PAGE 2-A

● H_2O

RN 146939-27-7 CAPLUS
CN 2H-Indol-2-one, 5-[2-[4-(1,2-benzisothiazol-3-yl)-1-piperazinyl]ethyl]-6-chloro-1,3-dihydro- (CA INDEX NAME)

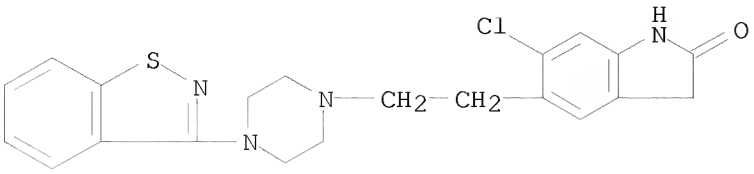


RN 858641-42-6 CAPLUS
CN 2H-Indol-2-one, 5-[2-[4-(1,2-benzisothiazol-3-yl)-1-piperazinyl]ethyl]-6-chloro-1,3-dihydro-, hydrochloride (1:2) (CA INDEX NAME)



● 2 HCl

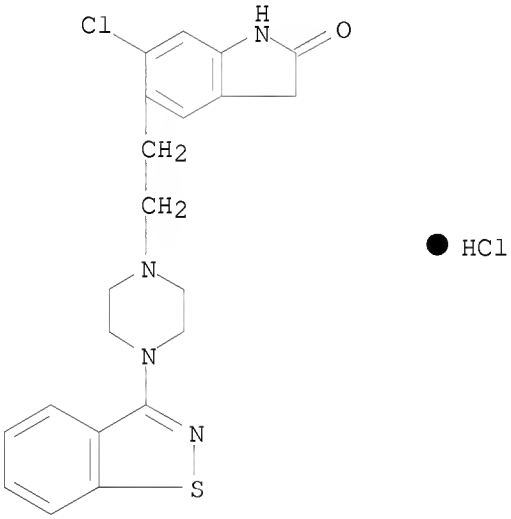
RN 858641-43-7 CAPLUS
CN 2H-Indol-2-one, 5-[2-[4-(1,2-benzisothiazol-3-yl)-1-piperazinyl]ethyl]-6-chloro-1,3-dihydro-, hydrochloride, hydrate (1:2:2) (CA INDEX NAME)



● 2 HCl

● 2 H_2O

IT 122883-93-6, Ziprasidone hydrochloride
RL: PEP (Physical, engineering or chemical process); PYP (Physical process); THU (Therapeutic use); BIOL (Biological study); PROC (Process); USES (Uses)
(ziprasidone formulations)
RN 122883-93-6 CAPLUS
CN 2H-Indol-2-one, 5-[2-[4-(1,2-benzisothiazol-3-yl)-1-piperazinyl]ethyl]-6-chloro-1,3-dihydro-, hydrochloride (1:1) (CA INDEX NAME)



● HCl

OSC.G 5 THERE ARE 5 CAPLUS RECORDS THAT CITE THIS RECORD (5 CITINGS)

L6 ANSWER 22 OF 28 CAPLUS COPYRIGHT 2010 ACS on STN
AN 2005:638706 CAPLUS
DN 143:159548
TI Donepezil formulations
IN Boehm, Garth; Dundon, Josephine
PA Alpharma, Inc., USA

SO PCT Int. Appl., 99 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI WO 2005065645	A2	20050721	WO 2004-US42999	20041223
WO 2005065645	A3	20051027		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
CA 2552221	A1	20050721	CA 2004-2552221	20041223
US 20050232990	A1	20051020	US 2004-22346	20041223
EP 1776089	A2	20070425	EP 2004-815115	20041223
R: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LI, LT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR				
IN 2006DN04397	A	20070713	IN 2006-DN4397	20060728
PRAI US 2003-533496P	P	20031231		
WO 2004-US42999	W	20041223		

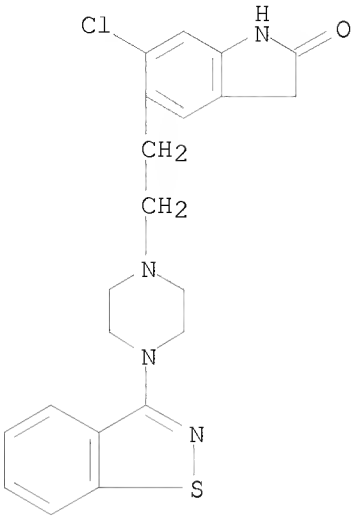
ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT

AB Donepezil formulations, including amorphous donepezil or pharmaceutically acceptable salts thereof; sustained-release formulations; and donepezil sprinkle formulations are disclosed.

IT 146939-27-7, Ziprasidone
RL: PEP (Physical, engineering or chemical process); PYP (Physical process); THU (Therapeutic use); BIOL (Biological study); PROC (Process); USES (Uses)
(donepezil formulations)

RN 146939-27-7 CAPLUS

CN 2H-Indol-2-one, 5-[2-[4-(1,2-benzisothiazol-3-yl)-1-piperazinyl]ethyl]-6-chloro-1,3-dihydro- (CA INDEX NAME)



OSC.G 7 THERE ARE 7 CAPLUS RECORDS THAT CITE THIS RECORD (8 CITINGS)
RE.CNT 8 THERE ARE 8 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 23 OF 28 CAPLUS COPYRIGHT 2010 ACS on STN
AN 2004:430288 CAPLUS
DN 140:429017
TI Drug condensation aerosols and kits
IN Hale, Ron L.; Hodges, Craig C.; Lloyd, Peter M.; Lu, Amy T.; Myers, Daniel J.; Rabinowitz, Joshua D.; Wensley, Martin J.
PA Alexza Molecular Delivery Corporation, USA
SO U.S. Pat. Appl. Publ., 84 pp., Cont.-in-part of U.S. Ser. No. 633,877.
CODEN: USXXCO
DT Patent
LA English
FAN.CNT 39

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	US 20040099269	A1	20040527	US 2003-718982	20031120
	US 7090830	B2	20060815		
	US 20030051728	A1	20030320	US 2001-57198	20011026
	US 7766013	B2	20100803	US 2001-57197	20011026
	US 20030015197	A1	20030123	US 2002-146088	20020513
	US 7537009	B2	20090526		
	US 20030017115	A1	20030123	US 2002-146516	20020513
	US 6737042	B2	20040518		
	US 20030035776	A1	20030220	US 2002-146515	20020513
	US 6682716	B2	20040127		
	US 20030209240	A1	20031113	US 2002-146086	20020513
	US 20030007933	A1	20030109	US 2002-150267	20020515
	US 6797259	B2	20040928		
	US 20030007934	A1	20030109	US 2002-150268	20020515
	US 6780399	B2	20040824		
	US 20030091511	A1	20030515	US 2002-150056	20020515
	US 6805853	B2	20041019		
	US 20030017117	A1	20030123	US 2002-151596	20020516

US 6855310	B2	20050215		
US 20030206869	A1	20031106	US 2002-151626	20020516
US 6783753	B2	20040831		
US 20030017116	A1	20030123	US 2002-150857	20020517
US 6716415	B2	20040406		
US 20030021753	A1	20030130	US 2002-150591	20020517
US 6780400	B2	20040824		
US 20030005924	A1	20030109	US 2002-152652	20020520
US 6740307	B2	20040525		
US 20030012740	A1	20030116	US 2002-153139	20020520
US 6814954	B2	20041109		
US 20030017118	A1	20030123	US 2002-152639	20020520
US 6716416	B2	20040406		
US 20030021754	A1	20030130	US 2002-152640	20020520
US 6743415	B2	20040601		
US 20030012737	A1	20030116	US 2002-153311	20020521
US 6884408	B2	20050426		
US 20030015189	A1	20030123	US 2002-153831	20020521
US 6740308	B2	20040525		
US 20030017119	A1	20030123	US 2002-153839	20020521
US 6776978	B2	20040817		
US 20030032638	A1	20030213	US 2002-153313	20020521
US 20030005925	A1	20030109	US 2002-155621	20020522
US 6759029	B2	20040706		
US 20030012738	A1	20030116	US 2002-155373	20020522
US 6737043	B2	20040518		
US 20030017120	A1	20030123	US 2002-155703	20020522
US 6803031	B2	20041012		
US 20030021755	A1	20030130	US 2002-155705	20020522
US 6805854	B2	20041019		
US 20030000518	A1	20030102	US 2002-155097	20020523
US 6716417	B2	20040406		
US 20030015190	A1	20030123	US 2002-154594	20020523
US 6740309	B2	20040525		
US 20030017114	A1	20030123	US 2002-154765	20020523
US 6814955	B2	20041109		
US 20030118512	A1	20030626	US 2002-280315	20021025
WO 2003045484	A2	20030605	WO 2002-US37491	20021121
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
AU 2002364508	A1	20030610	AU 2002-364508	20021121
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US 7078016	B2	20060718		
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EP 2052753	A1	20090429	EP 2009-2094	20030513
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CN 101623528	A	20100113	CN 2009-10166782	20030513
US 20070031340	A1	20070208	US 2003-633877	20030804

US 7585493	B2	20090908		
US 7645442	B2	20100112	US 2003-633876	20030804
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US 7087216	B2	20060808		
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US 7169378	B2	20070130		
US 20040185001	A1	20040923	US 2004-769046	20040130
US 7070766	B2	20060704		
US 20040185002	A1	20040923	US 2004-769051	20040130
US 7033575	B2	20060425		
US 20040161385	A1	20040819	US 2004-775586	20040209
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US 20040167228	A1	20040826	US 2004-775583	20040209
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US 20040185005	A1	20040923	US 2004-813721	20040331

US 7022312	B2	20060404		
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US 7063832	B2	20060620		
US 20040191183	A1	20040930	US 2004-814690	20040331
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US 20040191184	A1	20040930	US 2004-814998	20040331
US 7108847	B2	20060919		
US 20040185006	A1	20040923	US 2004-815527	20040401
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US 20040185007	A1	20040923	US 2004-816407	20040401
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US 20040185008	A1	20040923	US 2004-816567	20040401
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US 7442368	B2	20081028		
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AU 2008200911	A1	20080320	AU 2008-200911	20080227
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US 2006-460530	B1	20060727
US 2006-504419	A1	20060815
US 2007-687466	B1	20070316

ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT

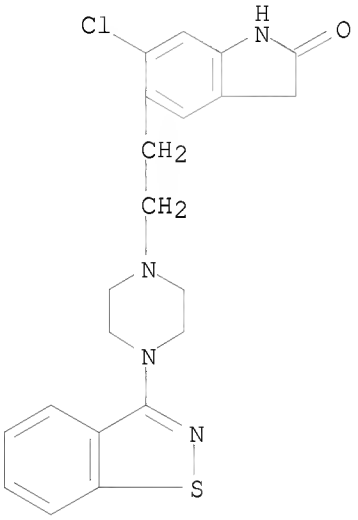
AB The present invention provides novel condensation aerosols for the treatment of disease and/or intermittent or acute conditions. These condensation aerosols have little or no pyrolysis degradation products and are characterized by having an MMAD of between 1-3 μ. The aerosols are made by rapidly heating a substrate coated with a thin film of drug having a thickness of between 0.05 and 20 μm, while passing a gas over the film, to form particles of a desirable particle size for inhalation. Kits comprising a drug and a device for producing a condensation aerosol are also provided. The device contained in the kit typically, has an element for heating the drug which is coated as a film on the substrate and contains a therapeutically ED of a drug when the drug is administered in aerosol form, and an element allowing the vapor to cool to form an aerosol. Also disclosed, are methods for using these aerosols and kits. For example, acebutolol (MW 336, m.p. 123°, oral dose 400 mg), a β-adrenergic blocker (cardiovascular agent), was coated on a stainless steel cylinder (8 cm). The drug (0.89 mg) was applied to the substrate, for a calculated drug film thickness of 1.1 μm. The substrate was heated at 20.5 V and purity of the drug aerosol particles was determined to be 98.9%; 0.53 mg was recovered from the filter after vaporization, for a percent yield of 59.6%. A total mass of 0.81 mg was recovered from the test apparatus and substrate, for a total recovery of 91%. High speed photographs were taken as the drug-coated substrate was heated to monitor visually formation of a thermal vapor. The photographs showed that a thermal vapor was initially visible 30 ms after heating was

initiated, with the majority of the thermal vapor formed by 130 ms. Generation of the thermal vapor was complete by 500 ms.

IT 146939-27-7, Ziprasidone
RL: PEP (Physical, engineering or chemical process); PYP (Physical process); THU (Therapeutic use); BIOL (Biological study); PROC (Process); USES (Uses)
(drug condensation aerosols and kits for inhalation therapy)

RN 146939-27-7 CAPLUS

CN 2H-Indol-2-one, 5-[2-[4-(1,2-benzisothiazol-3-yl)-1-piperazinyl]ethyl]-6-chloro-1,3-dihydro- (CA INDEX NAME)



OSC.G 8 THERE ARE 8 CAPLUS RECORDS THAT CITE THIS RECORD (9 CITINGS)

L6 ANSWER 24 OF 28 CAPLUS COPYRIGHT 2010 ACS on STN

AN 2004:375366 CAPLUS

DN 141:355530

TI Stability indicating reversed-phase high-performance liquid chromatographic and thin layer densitometric methods for the determination of ziprasidone in bulk powder and in pharmaceutical formulations

AU El-Sherif, Zeinab A.; El-Zeany, Badr; El-Houssini, Ola M.; Rashed, Mohamed S.; Aboul-Enein, Hassan Y.

CS National Organization for Drug Control and Research, Cairo, Egypt

SO Biomedical Chromatography (2004), 18(3), 143-149
CODEN: BICHE2; ISSN: 0269-3879

PB John Wiley & Sons Ltd.

DT Journal

LA English

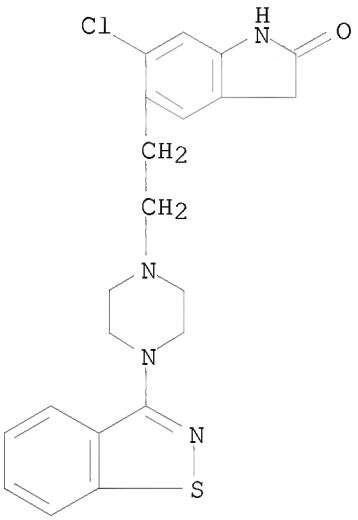
AB Two sensitive and reproducible methods were developed and validated for the determination of ziprasidone (ZIP) in the presence of its degradation products in pure form and in pharmaceutical formulations. The 1st method was based on a reversed-phase HPLC method with a Lichrosorb RP C18 column and H2O-MeCN-H3PO4 (76:24:0.5) as the mobile phase at a flow rate of 1.5 mL min-1 at ambient temperature Quantification was achieved with UV detection at 229 nm over a concentration range of 10-500 μg mL-1 with mean percentage recovery of 99.71. The method retained its accuracy in presence of up to

90% of ZIP degradation products. The 2nd method was based on TLC separation of ZIP
from its degradation products followed by densitometric measurement of the intact drug spot at 247 nm. The separation was carried out on aluminum sheet of silica gel 60 F254 using CHCl3-MeOH-HOAc (75:5:4.5) as the mobile phase, over a concentration range of 1-10 μg/spot and mean percentage recovery of 99.26. Both methods were applied successfully to laboratory prepared mixts. and pharmaceutical capsules.

IT 146939-27-7, Ziprasidone
RL: ANT (Analyte); ANST (Analytical study)
(reversed-phase HPLC and TLC for determination of ziprasidone in pharmaceutical
formulations)

RN 146939-27-7 CAPLUS

CN 2H-Indol-2-one, 5-[2-[4-(1,2-benzisothiazol-3-yl)-1-piperazinyl]ethyl]-6-chloro-1,3-dihydro- (CA INDEX NAME)



OSC.G 27 THERE ARE 27 CAPLUS RECORDS THAT CITE THIS RECORD (27 CITINGS)
RE.CNT 10 THERE ARE 10 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 25 OF 28 CAPLUS COPYRIGHT 2010 ACS on STN
AN 2003:5811 CAPLUS
DN 138:78458
TI Pharmaceutical compositions containing a solid dispersion of a poorly-soluble drug in a matrix and a solubility-enhancing polymer
IN Babcock, Walter Christian; Curatolo, William John; Friesen, Dwayne Thomas; Ketner, Rodney James; Lo, Julian Belknap; Nightingale, James Alan Schriver; Shanker, Ravi Mysore; West, James Blair
PA Pfizer Products Inc., USA
SO PCT Int. Appl., 212 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE

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	RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
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	AU 2002304387	A1	20030108	AU 2002-304387	20020513
	EP 1401503	A1	20040331	EP 2002-733019	20020513
	EP 1401503	B1	20070509		
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	BR 2002010520	A	20040622	BR 2002-10520	20020513
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	ES 2284871	T3	20071116	ES 2002-733019	20020513
	US 20030104063	A1	20030605	US 2002-175640	20020619
	MX 2003011922	A	20040326	MX 2003-11922	20031218
	US 20090011024	A1	20090108	US 2008-217700	20080708
PRAI	US 2001-300261P	P	20010622		
	WO 2002-IB1800	W	20020513		
	US 2002-175640	B1	20020619		

AB A pharmaceutical composition comprises a dispersion containing a low-solubility drug and a matrix combined with a concentration-enhancing polymer. At least a major portion of the drug is amorphous in the dispersion. The compns. improve the stability of the drug in the dispersion, and/or the concentration of drug in a use environment. For example, a solid drug/matrix dispersion comprised of 10% 3,5-dimethyl-4-(3'-pentoxy)-2-(2',4',6'-trimethylphenoxy)pyridine and 90% polyethylene glycol was prepared by a melt-congeal process. The solid drug/matrix dispersion was then combined with the concentration-enhancing polymer hydroxypropyl Me cellulose acetate succinate (HPMCAS). Addition of HPMCAS increased maximum concentration of drug in solution during the first 90 min (Cmax90) and the area under the aqueous concentration vs. time curve after 90 min (AUC90) by 1.12-fold and 1.19-fold, resp., compared to the solid drug/matrix dispersion with no concentration-enhancing polymer and by 2.38-fold and 2.25-fold, resp., compared to pure drug.

IT 185021-64-1
RL: PRP (Properties); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(compns. containing poorly-soluble drug/matrix solid dispersion and solubility-enhancing polymer)

RN 185021-64-1 CAPLUS

CN 2H-Indol-2-one, 5-[2-[4-(1,2-benzisothiazol-3-yl)-1-piperazinyl]ethyl]-6-chloro-1,3-dihydro-, methanesulfonate (1:1) (CA INDEX NAME)

CM 1

CRN 146939-27-7
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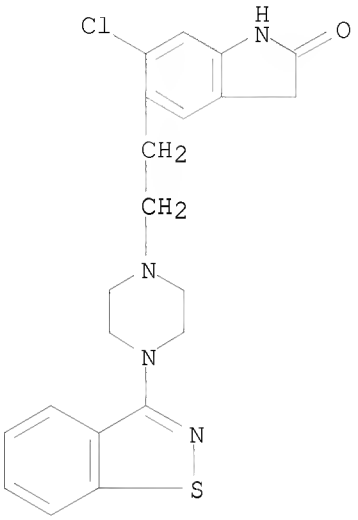

CM 2

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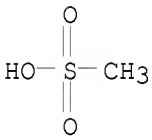
CMF C H4 O3 S



OSC.G 11 THERE ARE 11 CAPLUS RECORDS THAT CITE THIS RECORD (15 CITINGS)
RE.CNT 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

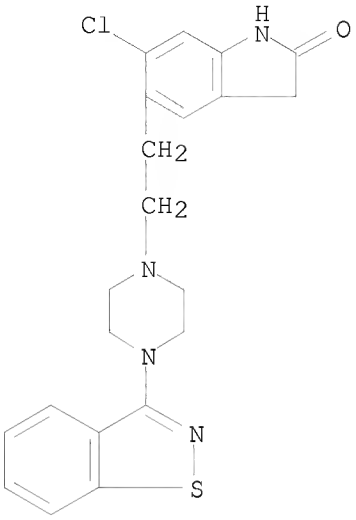
L6 ANSWER 26 OF 28 CAPLUS COPYRIGHT 2010 ACS on STN
AN 2000:295079 CAPLUS
DN 133:114944
TI Characterization of dopaminergic compounds at hD2short, hD4.2 and hD4.7 receptors in agonist-stimulated [35S]GTPγS binding assays
AU Gilliland, S. L.; Alper, R. H.
CS Toxicology and Therapeutics, Department of Pharmacology, University of Kansas Medical Center, Kansas City, KS, 66160-7417, USA
SO Naunyn-Schmiedeberg's Archives of Pharmacology (2000), 361(5), 498-504
CODEN: NSAPCC; ISSN: 0028-1298
PB Springer-Verlag
DT Journal
LA English
AB Dopamine receptor agonists and antagonists have been extensively

characterized in radioligand binding assays; only a limited number of labs. have characterized them using a functional assay at multiple receptor subtypes. Expts. were designed to assess four agonists and seven antagonists at three cloned human dopamine receptors using agonist-stimulated [35S]GTPγS binding assays in membranes to quantify the initial cellular event following ligand/receptor interaction. In this model there is constitutive G protein activity (agonist-independent [35S]GTPγS binding) and potentially constitutive dopamine receptor activity. Thus, discrimination between silent antagonists, partial agonists and inverse agonists is theor. possible. It was anticipated that distinctions could be made regarding efficacy of the seven receptor antagonists to provide insight regarding the therapeutic use of antipsychotic drugs.In membranes prepared from CHO cells transfected to express high densities of human D2short, D4.2 or D4.7 receptors, the dopamine receptor agonists apomorphine, pergolide, quinelorane and quinpirole produced concentration-dependent increases in agonist-stimulated [35S]GTPγS binding. At the hD2short receptor, pergolide and apomorphine were essentially equipotent and more potent than quinelorane and quinpirole; all four agonists displayed similar efficacy at this receptor. At the hD4.2 and the hD4.7 receptors apomorphine was the most potent and pergolide the least efficacious of the four drugs. The ability (both potency and efficacy) of clozapine, haloperidol, olanzapine, quetiapine, risperidone, spiperone and ziprasidone to block apomorphine-stimulated [35S]GTPγS binding and alter basal [35S]GTPγS binding was also assessed. All of the antagonists inhibited apomorphine-stimulated [35S]GTPγS binding with potencies (Kb values) similar to and in rank order consistent with their affinities reported in the literature using radioligand binding assays. Addnl., none of the antagonists altered basal, agonist-independent [35S]GTPγS binding, thus they behaved as pure, silent antagonists at D2short, D4.2 and D4.7 receptors under our conditions. In summary, the data suggest that therapeutic distinctions between typical and atypical antipsychotic drugs cannot be made based on their function at D2short, D4.2 and D4.7 subtypes of dopamine receptors.

IT 146939-27-7, Ziprasidone
RL: BSU (Biological study, unclassified); BIOL (Biological study)
(characterization of dopaminergic compds. at hD2short, hD4.2 and hD4.7 receptors in agonist-stimulated [35S]GTPγS binding assays)

RN 146939-27-7 CAPLUS

CN 2H-Indol-2-one, 5-[2-[4-(1,2-benzisothiazol-3-yl)-1-piperazinyl]ethyl]-6-chloro-1,3-dihydro- (CA INDEX NAME)



OSC.G 13 THERE ARE 13 CAPLUS RECORDS THAT CITE THIS RECORD (13 CITINGS)
RE.CNT 23 THERE ARE 23 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 27 OF 28 CAPLUS COPYRIGHT 2010 ACS on STN
AN 2000:290839 CAPLUS
DN 132:303503
TI Compositions and methods employing r(-) fluoxetine and other active ingredients
IN Barberich, Timothy J.; Rubin, Paul D.; Handley, Dean A.
PA Sepracor Inc., USA
SO PCT Int. Appl., 39 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 2

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2000024399	A1	20000504	WO 1999-US24970	19991022
	W: AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, UZ, VN, YU, ZA, ZW				
	RW: GH, GM, KE, LS, MW, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
	US 20020151543	A1	20021017	US 2002-158886	20020603
PRAI	US 1998-177703	A	19981023		
	US 1998-86262	B2	19980528		
	US 2000-664732	B3	20000919		

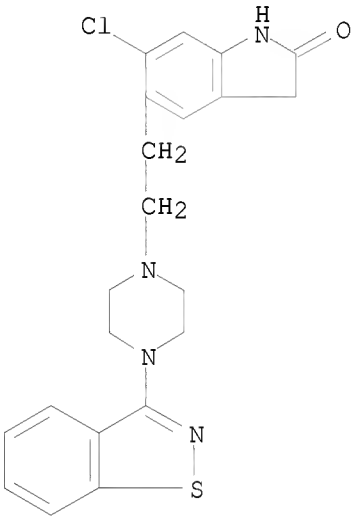
AB Pharmaceutical compns. which comprise R(-)-fluoxetine and one or more other biol. active compds. are disclosed. Methods of treating or preventing a disease or disorder, especially a psychotic or psychiatric disease or disorder, using the above pharmaceutical composition or by administering a R(-)-fluoxetine in combination with one or more other biol. active compds.

are also disclosed. Methods of treating patients having or at risk of having AIDS or HIV infection, cancer, cardiac disorder, post-myocardial depression and posttraumatic stress disorder using optically pure R(-) fluoxetine in combination with one or more other biol. active compds. are further disclosed.

IT 146939-27-7, Ziprasidone
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(R(-)-fluoxetine and benzodiazepine combinations for treatment of psychotic disorders)

RN 146939-27-7 CAPLUS

CN 2H-Indol-2-one, 5-[2-[4-(1,2-benzisothiazol-3-yl)-1-piperazinyl]ethyl]-6-chloro-1,3-dihydro- (CA INDEX NAME)



OSC.G 2 THERE ARE 2 CAPLUS RECORDS THAT CITE THIS RECORD (2 CITINGS)
RE.CNT 8 THERE ARE 8 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 28 OF 28 CAPLUS COPYRIGHT 2010 ACS on STN
AN 1994:483379 CAPLUS
DN 121:83379
OREF 121:14993a,14996a
TI Process for preparing aryl piperazinyl-heterocyclic compounds useful as neuroleptics
IN Bowles, Paul; Busch, Frank R.; Allen, Douglas J. M.; Diroma, Sabeto A.; Godek, Dennis M.
PA Pfizer Inc., USA
SO Can. Pat. Appl., 14 pp.
CODEN: CPXXEB
DT Patent
LA English
FAN.CNT 3

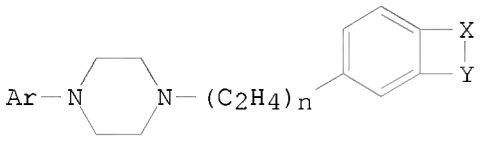
	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	CA 2095587	A1	19940227	CA 1993-2095587	19930505

	CA 2095587	C	20000208		
	US 5206366	A	19930427	US 1992-936179	19920826
	US 5312925	A	19940517	US 1992-939204	19920901
	US 5338846	A	19940816	US 1993-49905	19930420
PRAI	US 1992-936179	A	19920826		
	US 1992-939204	A	19920901		
	US 1993-49905	A	19930420		

ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT

OS CASREACT 121:83379; MARPAT 121:83379

GI



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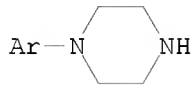

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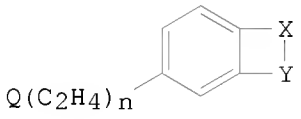

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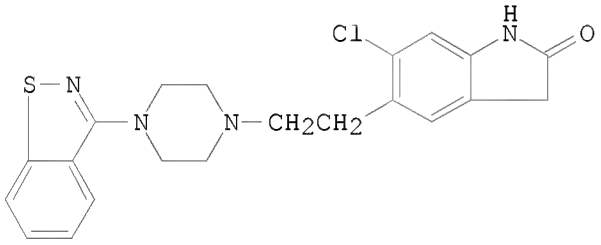


IV

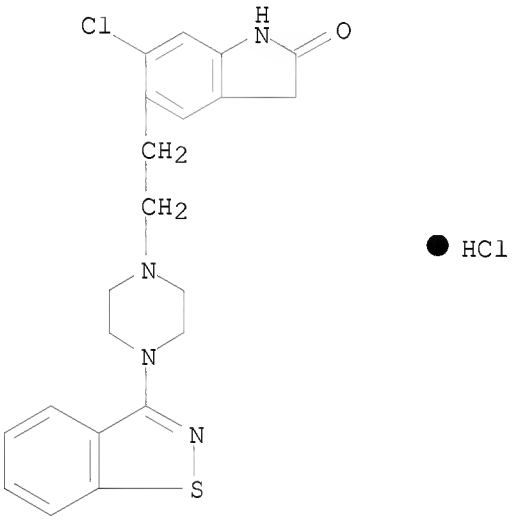
AB A process is claimed, for preparing neuroleptic (no data) title compds. I [Ar = (un)substituted naphthyl, quinolyl, 6-hydroxy-8-quinolyl, isoquinolyl, quinazolyl, benzoisothiazolyl, or an oxide or dioxide thereof, benzothiazolyl, benzothiadiazolyl, benzotriazolyl, benzoxazolyl, benzoxazolonyl, indolyl, (di)(fluoro)indanyl, 3-indazolyl, or phthalazinyl; n = 1 or 2; X and Y = atoms to form 2nd ring of ring system selected from (un)substituted quinolyl, 2-hydroquinolyl, benzothiazolyl, 2-aminobenzothiazolyl, benzoisothiazolyl, indazolyl, 2-hydroxyindazolyl, indolyl, spiro[cyclopentane-1,3'-indolinyl], and oxindolyl]. The method involves treatment of an arylpiperazine II or its mono-HZ salt (Z = F, Cl, Br, iodo, MeSO3, CF3SO3, CF3CO2) with an alkyl halide III (Q = F, Cl, Br, iodo) and a reagent to neutralize hydrohalic acid, heating the mixture under suitable conditions to effect coupling, and, if desired, preparing a pharmaceutically acceptable salt. This aqueous method gives improved yields, eliminates handling and disposal of organic solvents, and neither gives byproducts nor requires special isolation procedures such as extraction, distillation, or recrystn. For example, a mixture of 3-(1-piperazinyl)-1,2-benzisothiazole, 5-(2-chloroethyl)-6-chlorooxindole, and Na2CO3 in H2O was refluxed for 9-12 h, cooled, and filtered to give title compound IV (91% yield, 94.5% purity), also converted to its

HCl salt (86% yield, 99.5% purity). In another example, IV was similarly obtained on a 9-kg scale, with 83.8% recrystd. (THF) yield and 99.7% purity.

IT 122883-93-6P, 5-[2-[4-(1,2-Benzisothiazol-3-yl)-1-piperazinyl)ethyl]-6-chloro-1,3-dihydro-2H-indol-2-one hydrochloride 138982-67-9P, 5-[2-[4-(1,2-Benzisothiazol-3-yl)-1-piperazinyl)ethyl]-6-chloro-1,3-dihydro-2H-indol-2-one hydrochloride monohydrate 146939-27-7P, 5-[2-[4-(1,2-Benzisothiazol-3-yl)-1-piperazinyl)ethyl]-6-chloro-1,3-dihydro-2H-indol-2-one
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of, via coupling of piperazinylbenzisothiazole with (chloroethyl)chlorooxindole in water)

RN 122883-93-6 CAPLUS

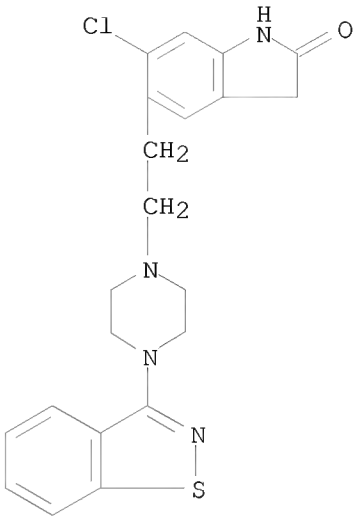
CN 2H-Indol-2-one, 5-[2-[4-(1,2-benzisothiazol-3-yl)-1-piperazinyl]ethyl]-6-chloro-1,3-dihydro-, hydrochloride (1:1) (CA INDEX NAME)



RN 138982-67-9 CAPLUS

CN 2H-Indol-2-one, 5-[2-[4-(1,2-benzisothiazol-3-yl)-1-piperazinyl]ethyl]-6-chloro-1,3-dihydro-, hydrochloride, hydrate (1:1:1) (CA INDEX NAME)

PAGE 1-A

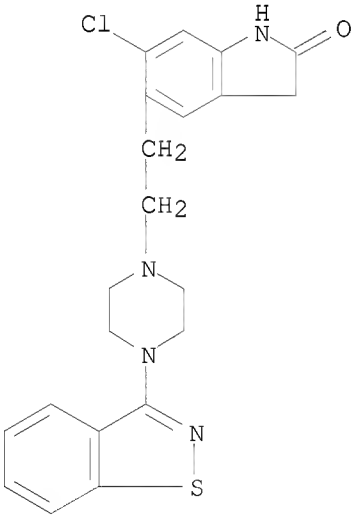


● HCl

PAGE 2-A

● H_2O

RN 146939-27-7 CAPLUS
CN 2H-Indol-2-one, 5-[2-[4-(1,2-benzisothiazol-3-yl)-1-piperazinyl]ethyl]-6-chloro-1,3-dihydro- (CA INDEX NAME)



=> log h

COST IN U.S. DOLLARS	SINCE FILE ENTRY	TOTAL SESSION
FULL ESTIMATED COST	183.42	381.17

DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)	SINCE FILE ENTRY	TOTAL SESSION
CA SUBSCRIBER PRICE	-23.80	-23.80

SESSION WILL BE HELD FOR 120 MINUTES
STN INTERNATIONAL SESSION SUSPENDED AT 17:03:07 ON 30 DEC 2010